

10/618,016

=> file caplus

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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14

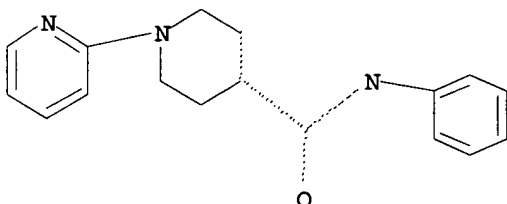
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

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=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 179 SEA FILE=REGISTRY SSS FUL L1

L4 12 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:470256 CAPLUS

DOCUMENT NUMBER: 143:20052

TITLE: Urea derivatives as kinase modulators

INVENTOR(S): Milanov, Zdravko V.; Patel, Hitesh K.; Grotzfeld, Robert M.; Mehta, Shamal A.; Andiliy, Lai G.; Lockhart, David J.

PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA

SOURCE: PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2005048948 | A2   | 20050602 | WO 2004-US38288 | 20041115 |

10/618,016

WO 2005048948 A3 20050728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,  
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG

|               |    |          |                |          |
|---------------|----|----------|----------------|----------|
| US 2005148605 | A1 | 20050707 | US 2004-989745 | 20041115 |
| US 2005165031 | A1 | 20050728 | US 2004-989814 | 20041115 |
| US 2005165024 | A1 | 20050728 | US 2004-989824 | 20041115 |
| US 2005165074 | A1 | 20050728 | US 2004-990007 | 20041115 |
| US 2005171171 | A1 | 20050804 | US 2004-989766 | 20041115 |
| US 2005171172 | A1 | 20050804 | US 2004-989823 | 20041115 |
| US 2005192314 | A1 | 20050901 | US 2004-990195 | 20041115 |
| US 2005197371 | A1 | 20050908 | US 2004-990194 | 20041115 |

PRIORITY APPLN. INFO.:

|                 |   |          |
|-----------------|---|----------|
| US 2003-520273P | P | 20031113 |
| US 2003-527094P | P | 20031203 |
| US 2003-531082P | P | 20031218 |
| US 2003-531243P | P | 20031218 |

OTHER SOURCE(S): MARPAT 143:20052

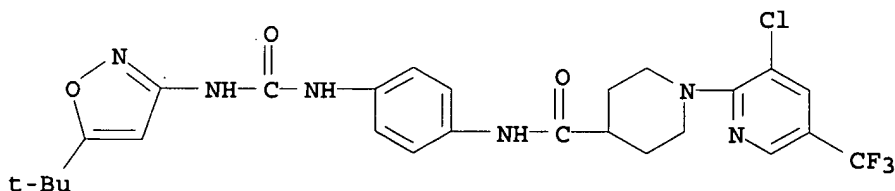
AB The invention provides methods and compns. for treating conditions mediated by various kinases wherein derivs. of urea compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects such as cellular proliferative disorders.

IT 852670-15-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(urea derivs. as kinase modulators for treatment of cellular proliferative disorders)

RN 852670-15-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-[4-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]- (9CI)  
(CA INDEX NAME)



L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:325703 CAPLUS

DOCUMENT NUMBER: 142:392293

TITLE: Preparation of heteroaryl carboxamides as analgesics.

INVENTOR(S): Zheng, Guo Zhu; Brown, Brian S.; Turner, Sean C.;  
White, Tammie K.; Schmidt, Robert G.; Koenig, John R.;  
Lee, Chih-Hung

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 45 pp.

CODEN: USXXCO

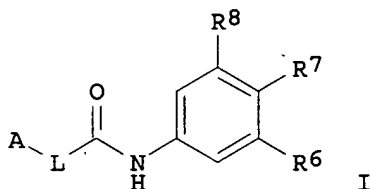
DOCUMENT TYPE: Patent

LANGUAGE: English

10/618,016

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE       |
|------------------------|--------|------------|-----------------|------------|
| US 2005080095          | A1     | 20050414   | US 2004-887383  | 20040708   |
| PRIORITY APPLN. INFO.: |        |            | US 2003-486548P | P 20030711 |
| OTHER SOURCE(S):       | MARPAT | 142:392293 |                 |            |
| GI                     |        |            |                 |            |



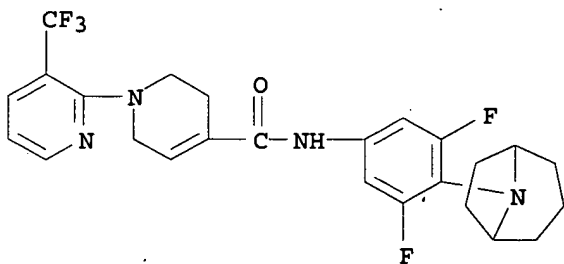
AB Title compds. e.g. [I; A = specified (substituted) azinyl, azolyl; L = (dehydro)piperidiny, (di)azabicyclooctyl; R<sub>6</sub>, R<sub>8</sub> = H, alkenyl, alkoxy, alkyl, alkylthio, alkynyl, haloalkoxy, haloalkyl, haloalkylthio, halo, OH, SH, amino; R<sub>7</sub> = H, alkenyl, alkoxy, alkoxy carbonyl, alkoxy sulfonyl, alkyl, alkyl carbonyl, alkoxy carbonyl alkyl, alkyl sulfonyl, alkylthio, cycloalkoxy, cycloalkylthio, haloalkoxy, haloalkyl, heteroaryl, heteroaryl alkyl, heterocyclyl, heterocyclyl alkyl, OH, amino, etc.], were prepared Thus, 1,2,3,6-tetrahydro-4-pyridinecarboxylic acid (preparation given) was heated with 2-bromo-3-chloropyridine and K<sub>2</sub>CO<sub>3</sub> in Me<sub>2</sub>SO overnight at 90°; the residue in CH<sub>2</sub>Cl<sub>2</sub> at -78° was treated with CF<sub>3</sub>CO<sub>2</sub>H followed by warming to room temperature to give 3'-chloro-3,6-dihydro-2H-1,2'-bipyridine-4-carboxylic acid (uncharacterized). This was stirred overnight with 4-tert-butylaniline and EDCI in CH<sub>2</sub>Cl<sub>2</sub> to give N-(4-tert-butylphenyl)-3'-chloro-3,6-dihydro-2H-1,2'-bipyridine-4-carboxamide. Title compds. antagonized vanilloid VR<sub>1</sub> receptors with IC<sub>50</sub> = 0.5-2200 nM.

IT 850039-72-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(claimed compound; preparation of heteroaryl carboxamides as analgesics)

RN 850039-72-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(8-azabicyclo[3.2.1]oct-8-yl)-3,5-difluorophenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 801305-52-2P 801305-90-8P 801305-91-9P  
801305-92-0P 801305-97-5P 801305-98-6P  
801305-99-7P 801306-00-3P 801306-02-5P  
801306-05-8P 801306-07-0P 801306-09-2P  
801306-11-6P 801306-12-7P 824981-93-3P

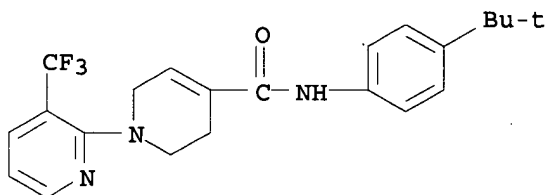
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(claimed compound; preparation of heteroaryl carboxamides as analgesics)

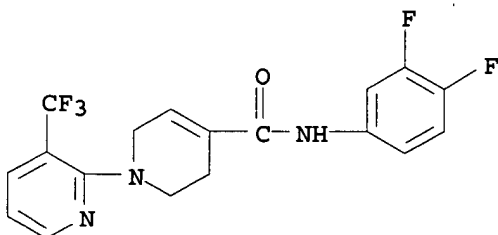
RN 801305-52-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-90-8 CAPLUS

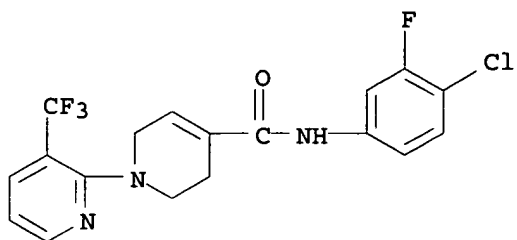
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3,4-difluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-91-9 CAPLUS

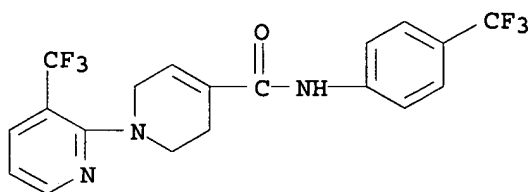
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chloro-3-fluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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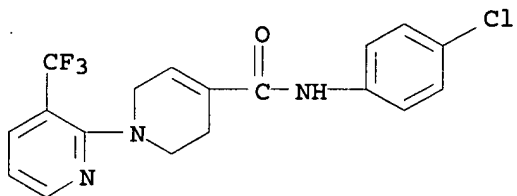
RN 801305-92-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



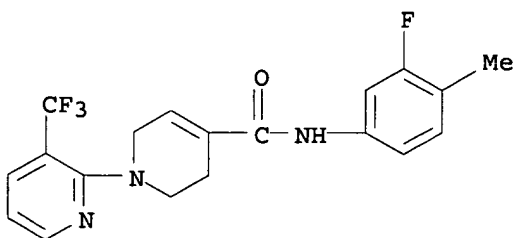
RN 801305-97-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-98-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-fluoro-4-methylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

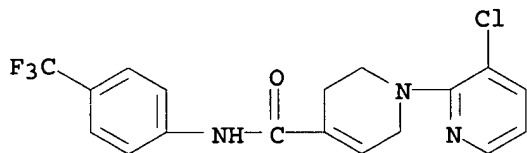


RN 801305-99-7 CAPLUS

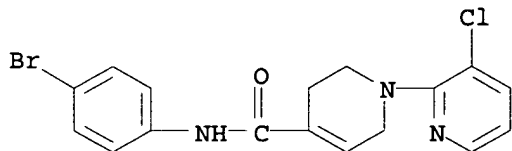
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

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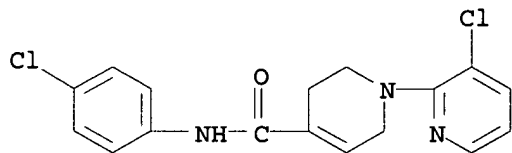
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



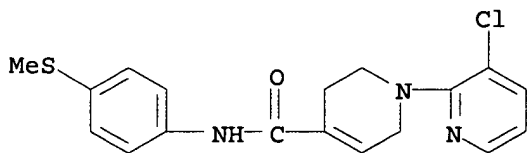
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



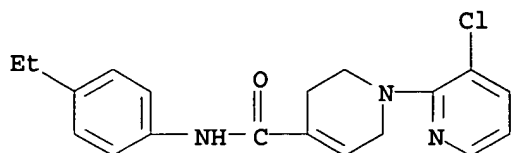
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-ethylphenyl)-3,6-

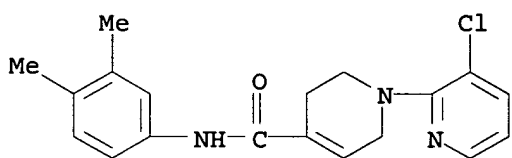
10/618,016

dihydro- (9CI) (CA INDEX NAME)



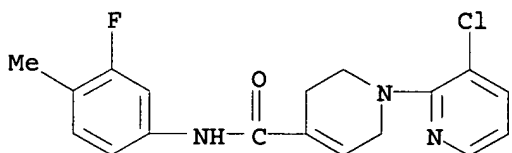
RN 801306-11-6 CAPLUS

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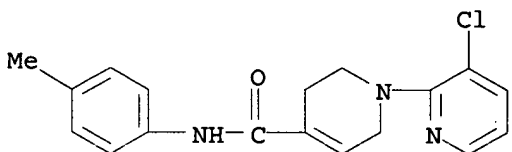
RN 801306-12-7 CAPLUS

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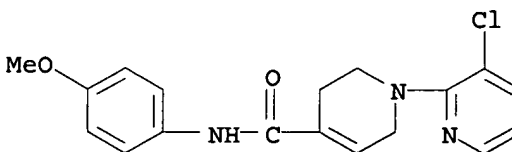
RN 824981-93-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 824981-94-4 CAPLUS

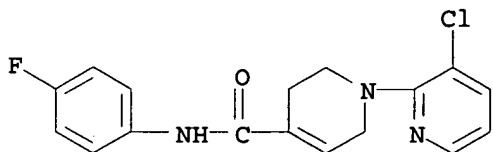
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10/618,016

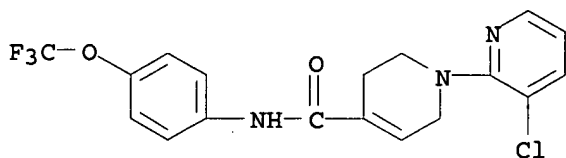
RN 824981-95-5 CAPLUS

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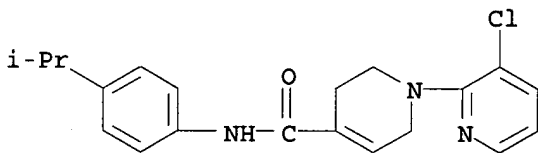
RN 824981-96-6 CAPLUS

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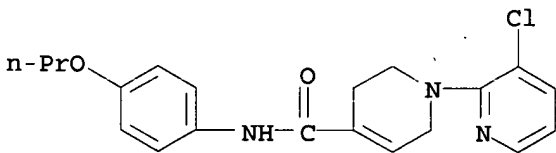
RN 824981-98-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 824982-01-6 CAPLUS

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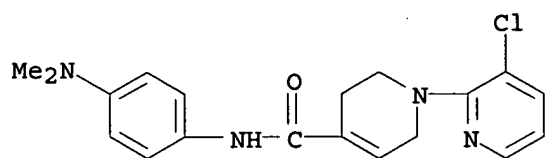


RN 824982-03-8 CAPLUS

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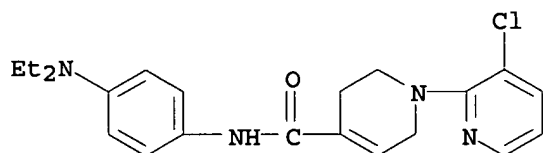


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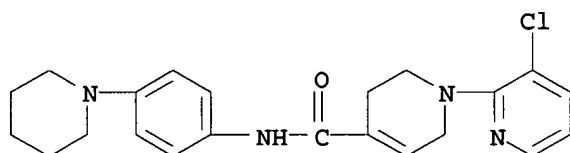
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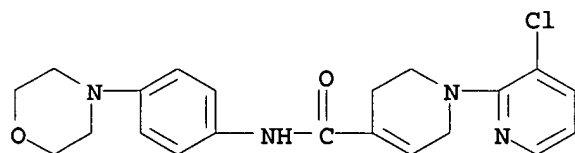
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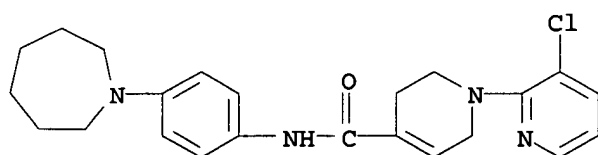
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RN 824982-10-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(hexahydro-1H-azepin-1-yl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



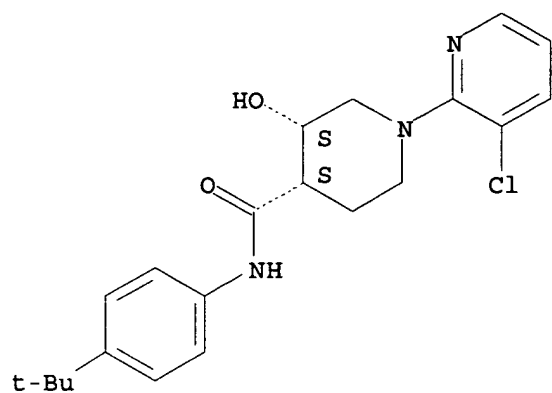
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CN 4-Piperidinecarboxamide, 1-(3-chloro-2-pyridinyl)-N-[4-(1,1-

10/618,016

dimethylethyl)phenyl]-3-hydroxy-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

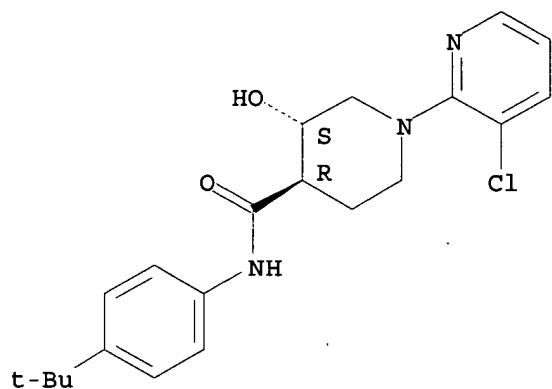
Relative stereochemistry.



RN 824982-36-7 CAPLUS

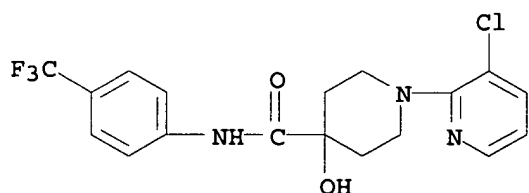
CN 4-Piperidinecarboxamide, 1-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-3-hydroxy-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 824982-37-8 CAPLUS

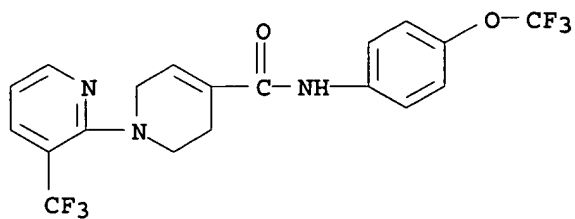
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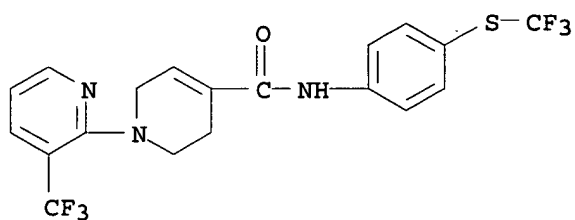
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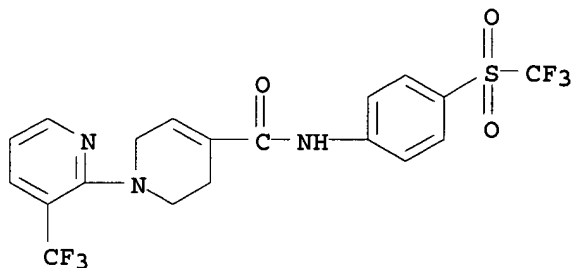
RN 824982-40-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-((trifluoromethyl)thio)phenyl]- (9CI) (CA INDEX NAME)



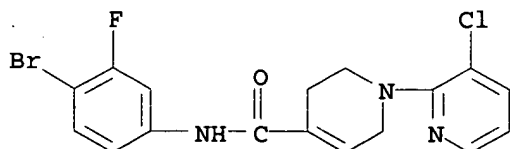
RN 824982-41-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-((trifluoromethyl)sulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 850039-31-5 CAPLUS

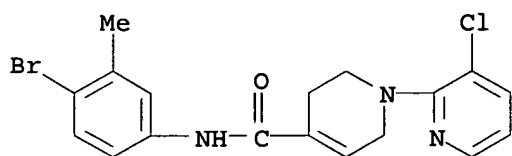
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromo-3-fluorophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-32-6 CAPLUS

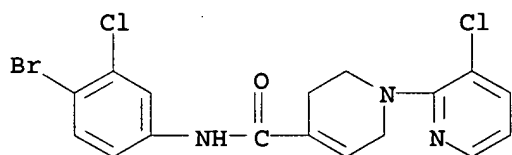
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromo-3-methylphenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



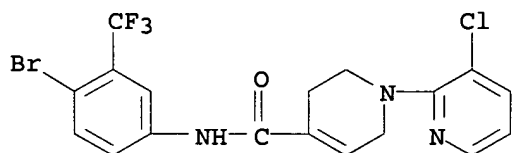
RN 850039-33-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromo-3-chlorophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



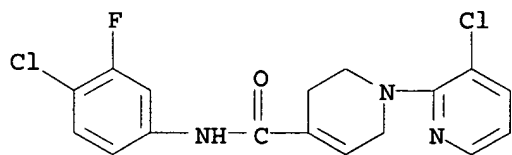
RN 850039-34-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



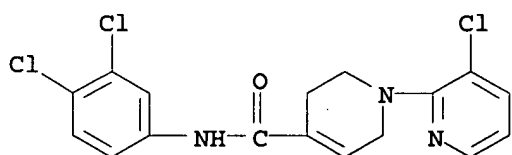
RN 850039-35-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chloro-3-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-36-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3,4-dichlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

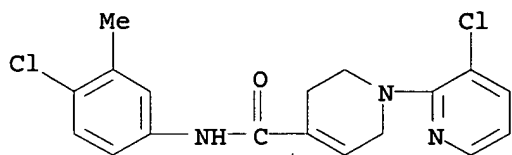


RN 850039-37-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chloro-3-methylphenyl)-

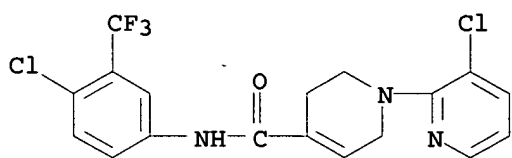
10/618,016

3,6-dihydro- (9CI) (CA INDEX NAME)



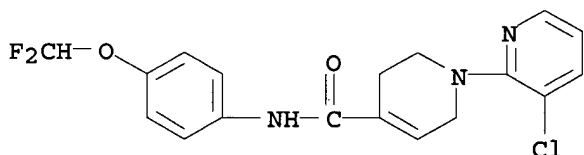
RN 850039-38-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-chloro-3-(trifluoromethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



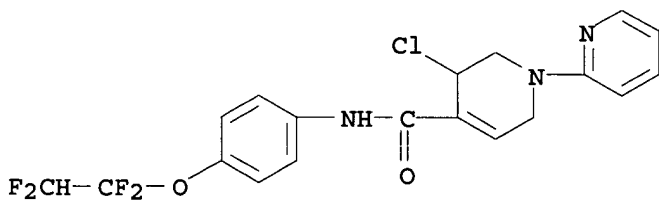
RN 850039-39-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(difluoromethoxy)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



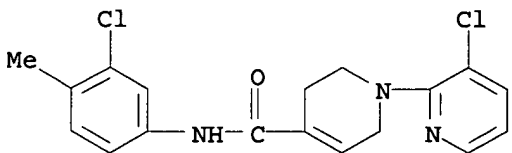
RN 850039-40-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3-chloro-3,6-dihydro-N-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 850039-41-7 CAPLUS

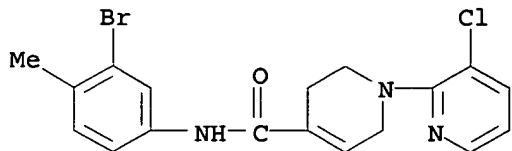
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-chloro-4-methylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



10/618,016

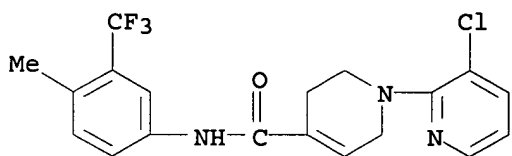
RN 850039-42-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-bromo-4-methylphenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



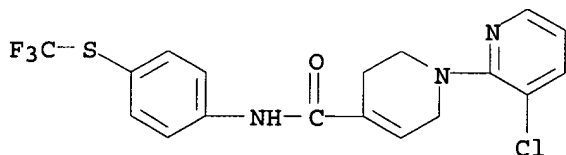
RN 850039-43-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



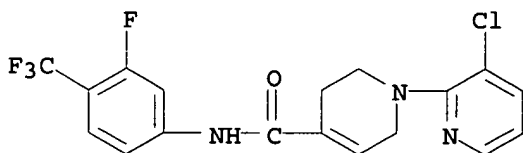
RN 850039-44-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 850039-45-1 CAPLUS

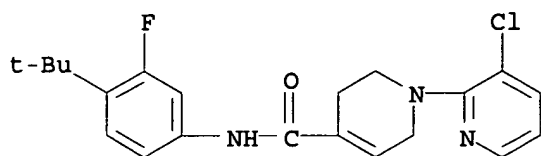
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[3-fluoro-4-(trifluoromethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-46-2 CAPLUS

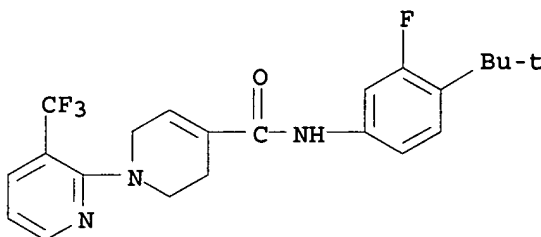
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)-3-fluorophenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



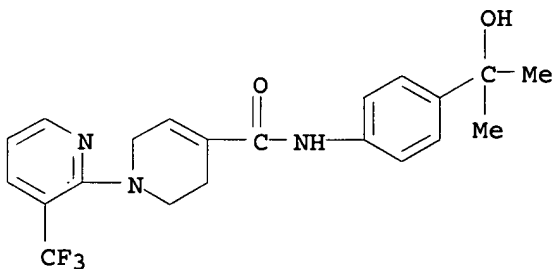
RN 850039-47-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)-3-fluorophenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



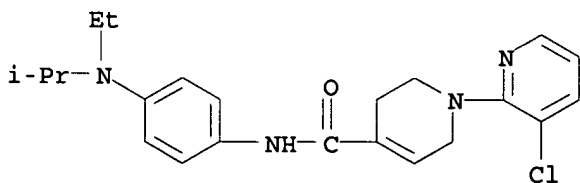
RN 850039-48-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-[4-(1-hydroxy-1-methylethyl)phenyl]-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 850039-49-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-[ethyl(1-methylethyl)amino]phenyl]-3,6-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



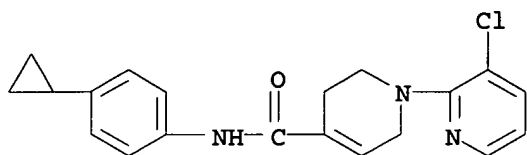
●x HCl

RN 850039-50-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-cyclopropylphenyl)-3,6-

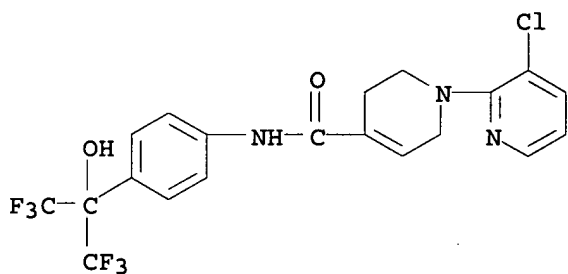
10/618,016

dihydro- (9CI) (CA INDEX NAME)



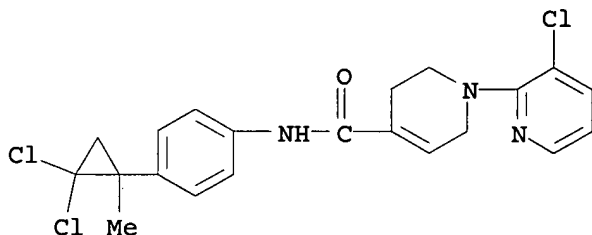
RN 850039-51-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



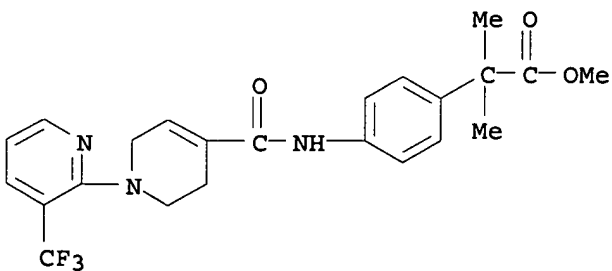
RN 850039-52-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(2,2-dichloro-1-methylcyclopropyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-53-1 CAPLUS

CN Benzeneacetic acid, 4-[[[3,6-dihydro-3'-(trifluoromethyl)[1(2H),2'-bipyridin]-4-yl]carbonyl]amino]- $\alpha,\alpha$ -dimethyl-, methyl ester (9CI) (CA INDEX NAME)

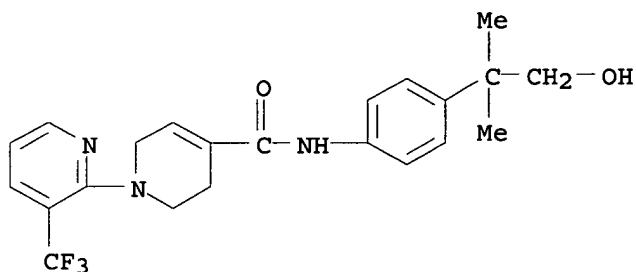


RN 850039-54-2 CAPLUS



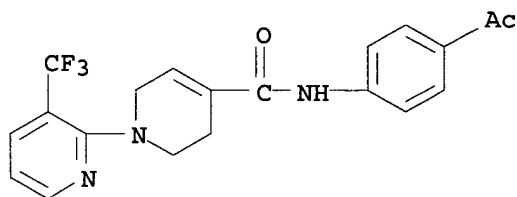
10/618,016

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-[4-(2-hydroxy-1,1-dimethylethyl)phenyl]-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



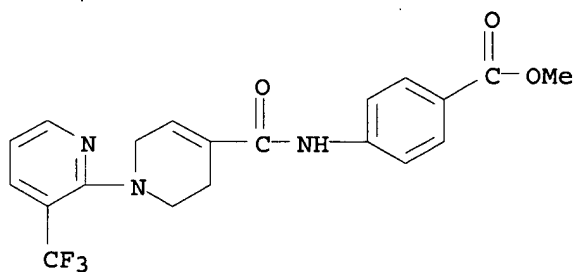
RN 850039-55-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-acetylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



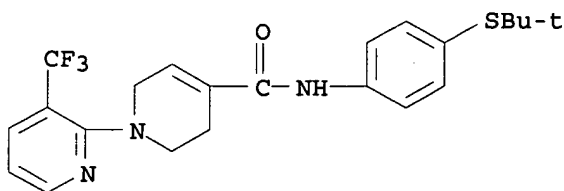
RN 850039-56-4 CAPLUS

CN Benzoic acid, 4-[[[3,6-dihydro-3'-(trifluoromethyl)[1(2H),2'-bipyridin]-4-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 850039-57-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-[(1,1-dimethylethyl)thio]phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

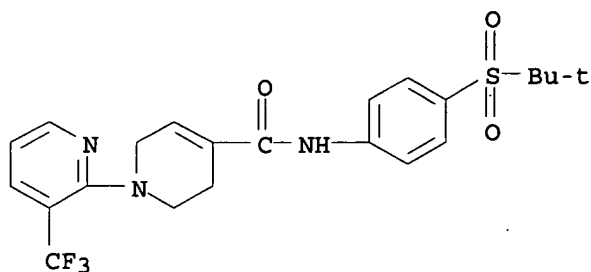


RN 850039-58-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-[(1,1-

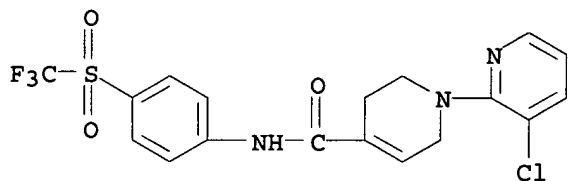
10/618,016

dimethylethyl)sulfonyl]phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



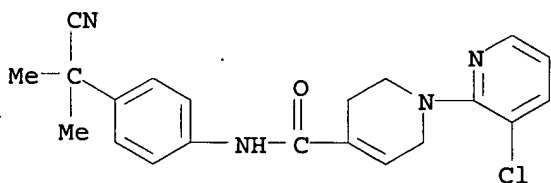
RN 850039-59-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-  
[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



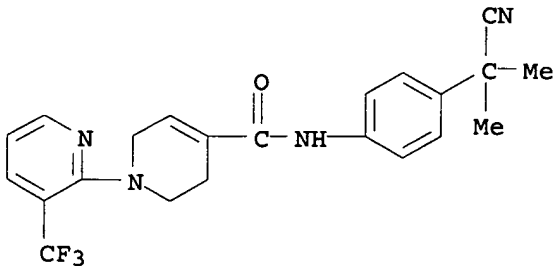
RN 850039-60-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1-cyano-1-  
methylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-61-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1-cyano-1-methylethyl)phenyl]-  
3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

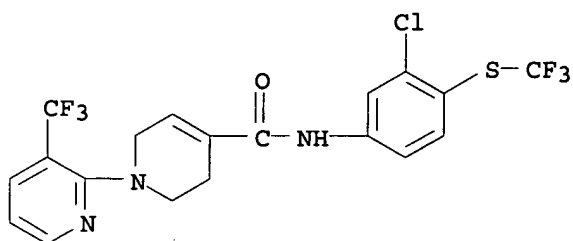


RN 850039-62-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[3-chloro-4-

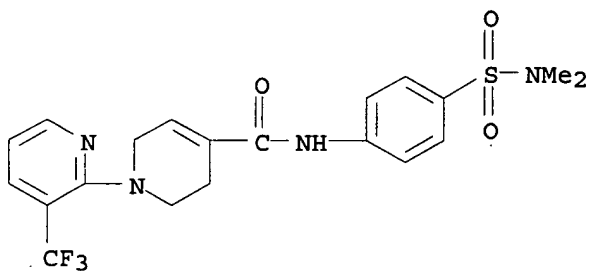
10/618,016

[(trifluoromethyl)thio]phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



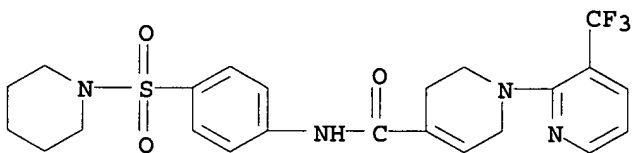
RN 850039-63-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-[(dimethylamino)sulfonyl]phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



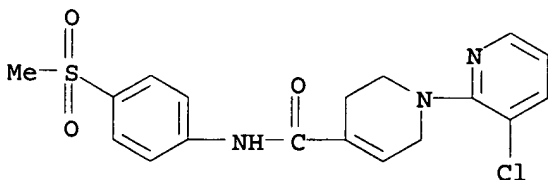
RN 850039-64-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-[4-(1-piperidinylsulfonyl)phenyl]-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 850039-65-5 CAPLUS

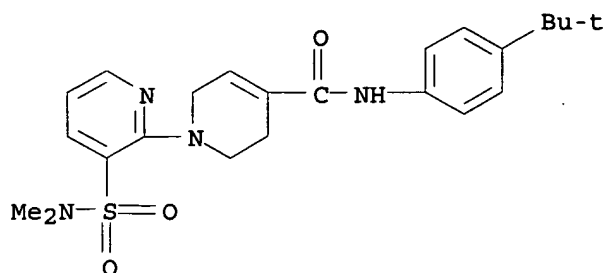
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(1-methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 850039-66-6 CAPLUS

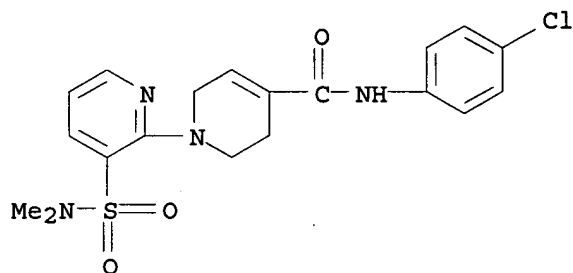
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-[(dimethylamino)sulfonyl]-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



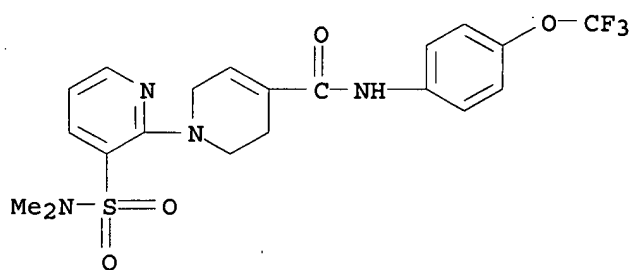
RN 850039-67-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3'-[(dimethylamino)sulfonyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



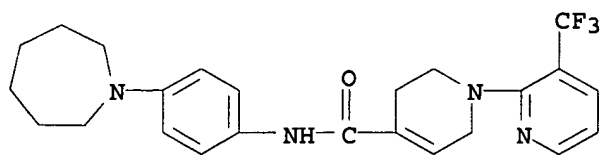
RN 850039-68-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-[(dimethylamino)sulfonyl]-3,6-dihydro-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 850039-69-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(hexahydro-1H-azepin-1-yl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

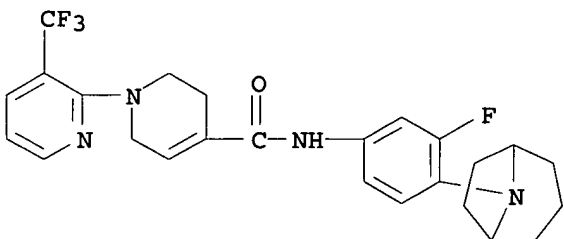


RN 850039-70-2 CAPLUS

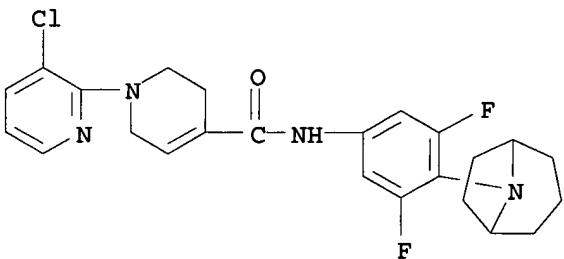
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(8-azabicyclo[3.2.1]oct-8-yl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

FC(F)(F)c1cccc2n(c1)CCN(C2)C(=O)Nc3ccc(cc3)C45CC6CCN(C46)CC5

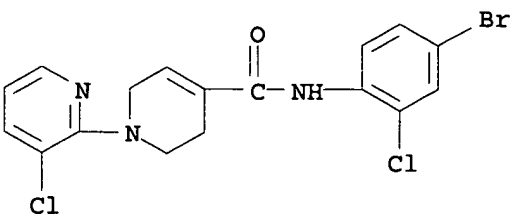
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(8-azabicyclo[3.2.1]oct-8-yl)-3-fluorophenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(8-azabicyclo[3.2.1]oct-8-yl)-3,5-difluorophenyl]-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)

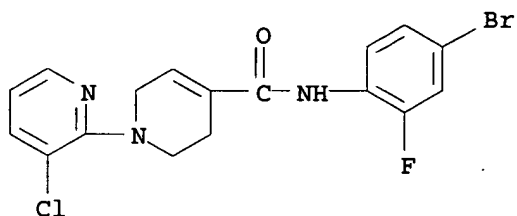


CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromo-2-chlorophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



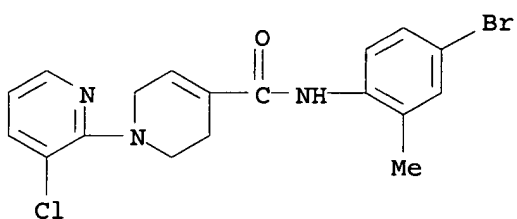
CN [1(2H), 2'-Bipyridine]-4-carboxamide, N-(4-bromo-2-fluorophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



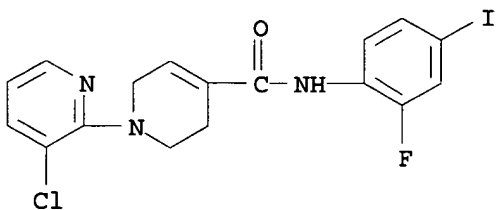
RN 850039-92-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromo-2-methylphenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



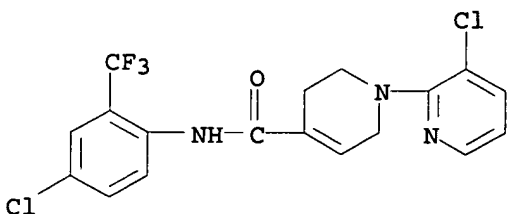
RN 850039-93-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(2-fluoro-4-iodophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-94-0 CAPLUS

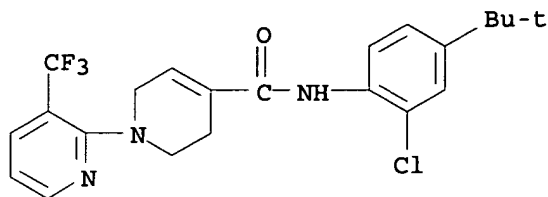
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-chloro-2-(trifluoromethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-95-1 CAPLUS

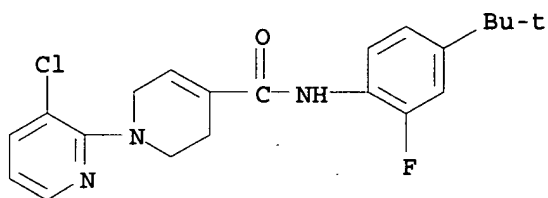
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[2-chloro-4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/618,016



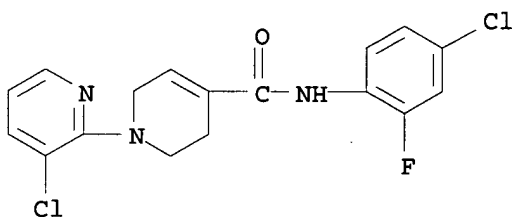
RN 850039-96-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)-2-fluorophenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 850039-97-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chloro-2-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



IT 824981-97-7P 824981-99-9P 824982-00-5P

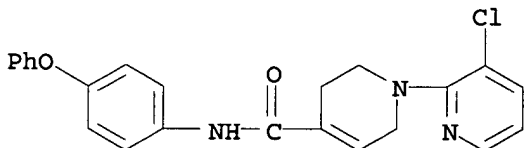
824982-02-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl carboxamides as analgesics)

RN 824981-97-7 CAPLUS

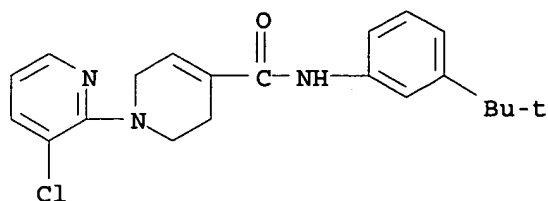
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chloro-2-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824981-99-9 CAPLUS

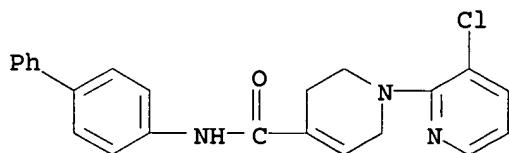
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[3-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



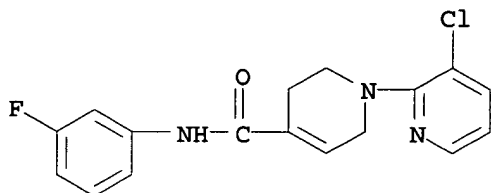
RN 824982-00-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[1,1'-biphenyl]-4-yl-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824982-02-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



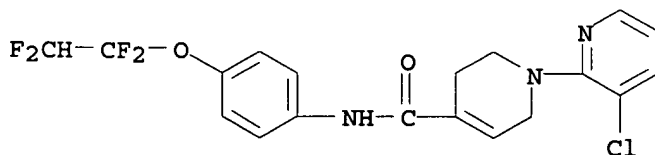
IT 850040-21-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl carboxamides as analgesics)

RN 850040-21-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:158662 CAPLUS

DOCUMENT NUMBER: 142:240327

TITLE: Preparation of piperidinecarboxamide and cyclohexanecarboxamide derivatives as vanilloid receptor modulators

INVENTOR(S): Jamieson, Craig; Miller, David Drysdale; Rami, Harshad

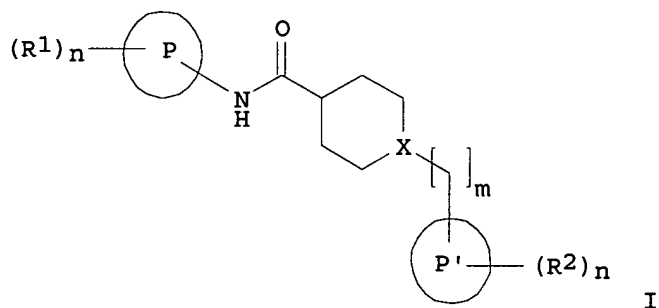


10/618,016

PATENT ASSIGNEE(S): Kantilal; Thompson, Mervyn  
SOURCE: Glaxo Group Limited, UK  
PCT Int. Appl., 32 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2005016915 | A1   | 20050224 | WO 2004-EP9078  | 20040812 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPLN. INFO.: GB 2003-19150 A 20030814  
OTHER SOURCE(S): MARPAT 142:240327  
GI



AB The title compds. I [ring P = Ph, etc.; ring P' = Ph, pyridinyl, etc.; R1, R2 = alkyl, etc.; m = 0 or 1; n = 0 - 5; X = N, CH; a proviso is given] are prepared. Thus, treatment of 4-(4-chlorophenyl)cyclohexanecarboxylic acid with thionyl chloride in N,N-dimethylacetamide, followed by reaction with 5-aminoquinoline in N,N-dimethylacetamide in the presence of diisopropylethylamine, gave 4-(4-chlorophenyl)-N-5-quinolinylcyclohexanecarboxamide. In an in vitro assay for vanilloid receptor VR1 antagonist activity, compds. of this invention showed pKb values > 7. (The pKb values were generated from the IC50 values using the Cheng-Prusoff equation.).

IT 845645-28-5P 845645-33-2P 845645-34-3P

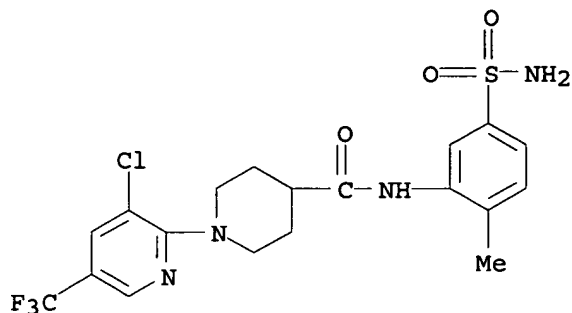
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinecarboxamide and cyclohexanecarboxamide derivs. as vanilloid receptor modulators)

RN 845645-28-5 CAPLUS

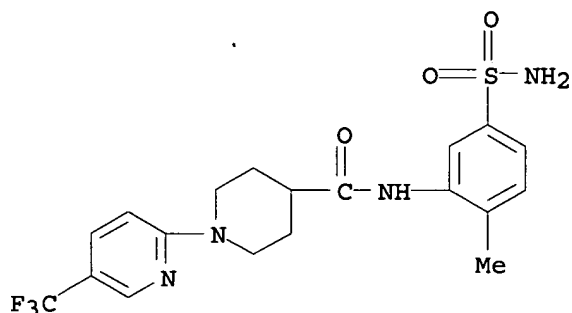
CN 4-Piperidinecarboxamide, N-[5-(aminosulfonyl)-2-methylphenyl]-1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

10/618,016



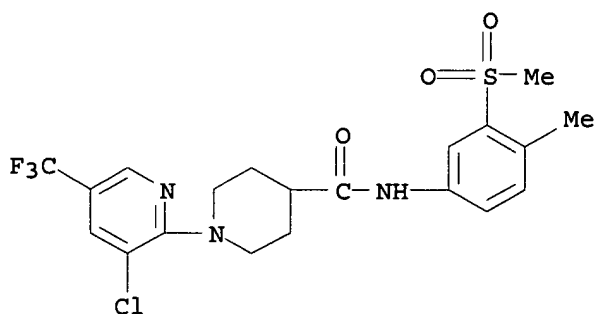
RN 845645-33-2 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-(aminosulfonyl)-2-methylphenyl]-1-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 845645-34-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-[4-methyl-3-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:55064 CAPLUS

DOCUMENT NUMBER: 142:155821

TITLE: Preparation of substituted cyclo(hetero)alkenes for treating pain

INVENTOR(S): Kyle, Donald J.; Sun, Qun; Tafesse, Laykea

PATENT ASSIGNEE(S): Euro-Celtique, S.A., Luxembourg

SOURCE: PCT Int. Appl., 970 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

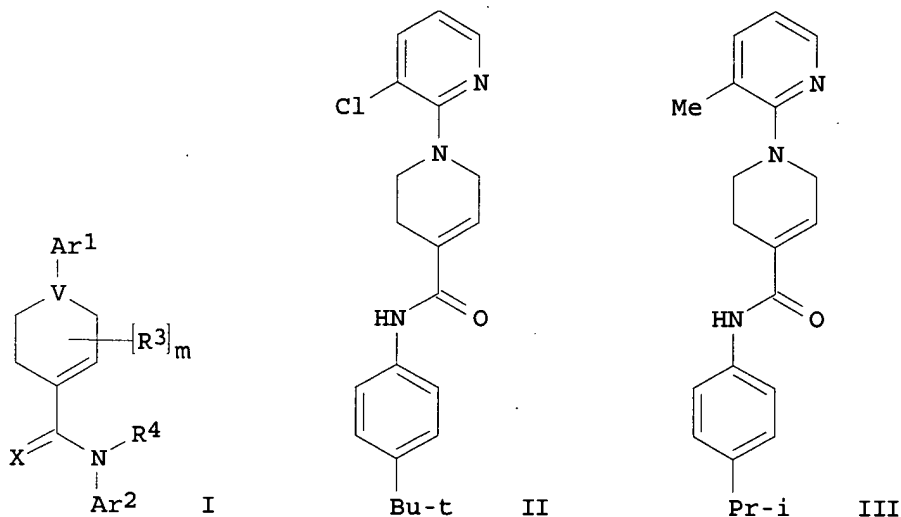
LANGUAGE: English

10/618,016

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2005004866 | A1   | 20050120 | WO 2004-US19189 | 20040614 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPLN. INFO.: US 2003-477744P P 20030612  
OTHER SOURCE(S): MARPAT 142:155821  
GI



AB The title compds. I [Ar<sup>1</sup> = (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, etc.; Ar<sup>2</sup> = (un)substituted benzothiazolyl, benzoxazolyl, benzimidazolyl, etc.; V = N, CH; X = O, S; R<sup>3</sup> = halo, CN, OH, etc.; R<sup>4</sup> = H, alkyl; m = 0-1], compns. comprising thereof, and methods for treating or preventing, e.g., pain, UI, an ulcer, IBD, or IBS in an animal, comprising administering to an animal in need thereof an effective amount of compound I are disclosed. Several preparative examples were given to illustrate the synthesis of compds. I. E.g., a multi-step synthesis of II, starting from 2,3-dichloropyridine, was given. The compound III, an illustrative cyclo(hetero)alkene I, was tested for binding to human VR1 and showed IC<sub>50</sub> of 148.1 nM in pH-based assay and IC<sub>50</sub> of 4.4 nM in capsaicin-based assay.

IT 828265-94-7P 828265-95-8P

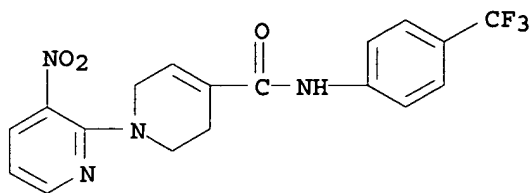
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted cyclo(hetero)alkenes as VR1 inhibitors for treating pain)

10/618,016

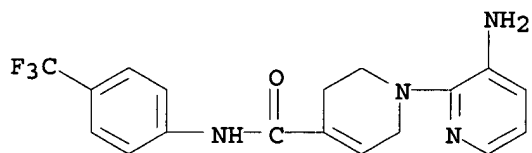
RN 828265-94-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-nitro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 828265-95-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-amino-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT 801305-52-2P 801305-99-7P 801306-00-3P

828265-79-8P 828265-80-1P 828265-81-2P

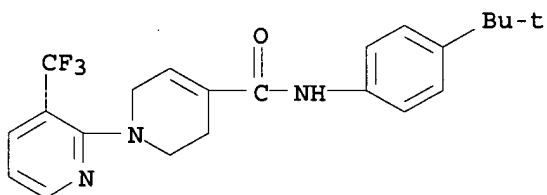
828265-82-3P 828265-83-4P 828265-93-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted cyclo(hetero)alkenes as VR1 inhibitors for treating pain)

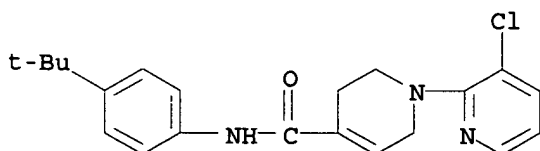
RN 801305-52-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-99-7 CAPLUS

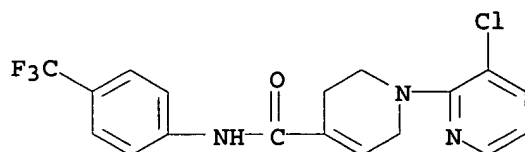
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-00-3 CAPLUS

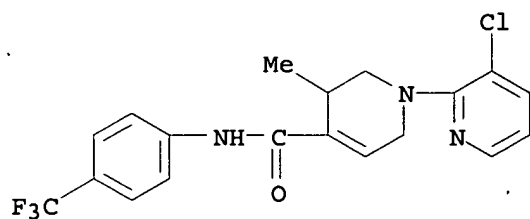
10/618,016

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



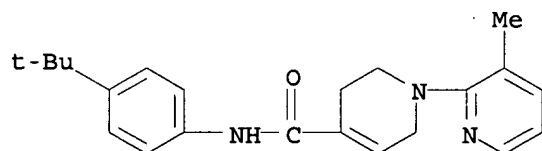
RN 828265-79-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-3-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



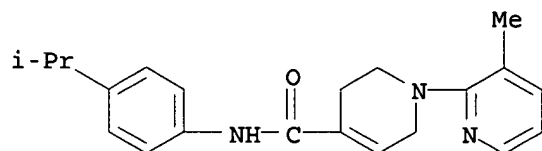
RN 828265-80-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-methyl- (9CI) (CA INDEX NAME)



RN 828265-81-2 CAPLUS

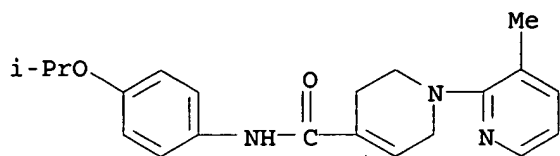
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-methyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 828265-82-3 CAPLUS

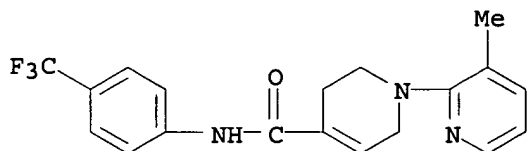
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-methyl-N-[4-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/618,016



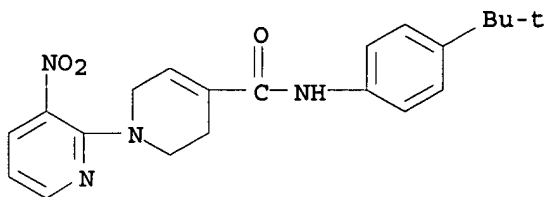
RN 828265-83-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 828265-93-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:36551 CAPLUS

DOCUMENT NUMBER: 142:134614

TITLE: A preparation of N-phenyl-heterocyclylcarboxylic acid amide derivatives, useful for treating pain

INVENTOR(S): Zheng, Guo Zhu; Brown, Brian S.; Turner, Sean C.; White, Tammie K.; Schmidt, Robert G.; Koenig, John R.; Lee, Chih-Hung

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| US 2005009841 | A1   | 20050113 | US 2003-618016  | 20030711 |
| WO 2005007642 | A2   | 20050127 | WO 2004-US21836 | 20040709 |
| WO 2005007642 | A3   | 20050526 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

10/618,016

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.:

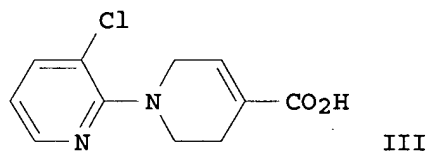
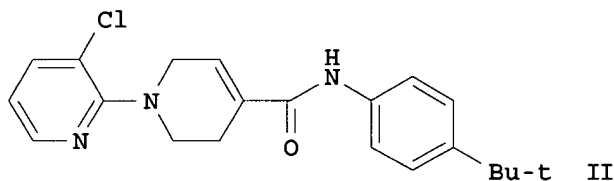
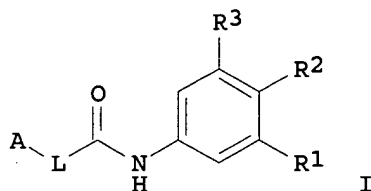
US 2003-618016

A 20030711

OTHER SOURCE(S):

MARPAT 142:134614

GI



AB The invention relates to a preparation of N-phenyl-heterocyclylcarboxylic acid amide derivs. of formula I [wherein: A is (un)substituted oxazolyl, imidazolyl, pyrimidinyl, or pyridinyl, etc.; L is a bond, piperazine-1,4-diyl derivative, or piperidine-1,4-diyl derivative, etc.; R1 and R3

are independently selected from H, alkenyl, alkoxy, alkylthio, or haloalkyl, etc.; R2 is H, alkoxy, alkenyl, alkyl, halogen, or OH, etc.], useful for treating pain. For instance, N-phenyl-heterocyclylcarboxylic acid amide derivative II (antinociceptive effect: 0.1 mg/kg < ED50 < 150 mg/kg) was prepared via amination of 2-bromo-3-chloropyridine by 1,2,3,6-tetrahydro-4-pyridinecarboxylic acid and subsequent amidation of the obtained bipyridinecarboxylic acid derivative III by 4-tert-butylaniline.

IT 801305-52-2P 801305-97-5P 801305-98-6P  
801305-99-7P 801306-00-3P 801306-02-5P  
801306-05-8P 801306-07-0P 801306-09-2P  
801306-12-7P 824981-93-3P 824981-94-4P  
824981-95-5P 824981-96-6P 824981-97-7P  
824981-98-8P 824981-99-9P 824982-00-5P  
824982-01-6P 824982-02-7P 824982-03-8P  
824982-04-9P 824982-05-0P 824982-06-1P  
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10/618,016

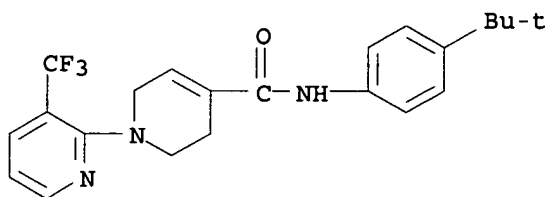
**824982-41-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-phenyl-heterocyclylcarboxylic acid amide derivs. useful for treating pain)

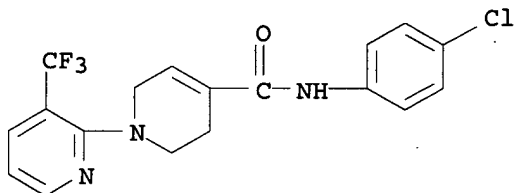
RN 801305-52-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



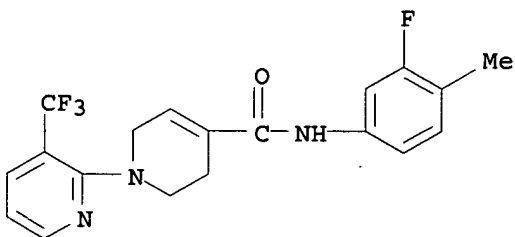
RN 801305-97-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



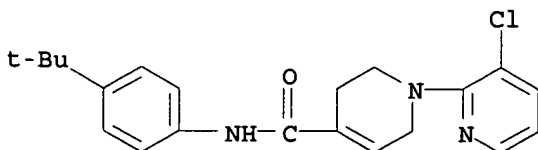
RN 801305-98-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-fluoro-4-methylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-99-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

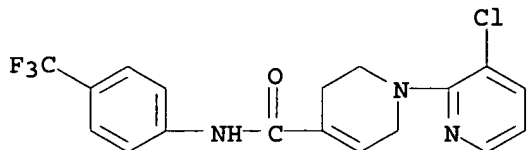




10/618,016

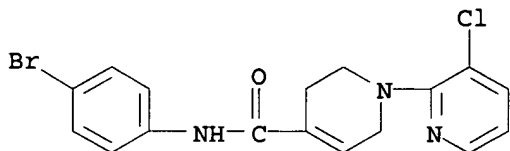
RN 801306-00-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



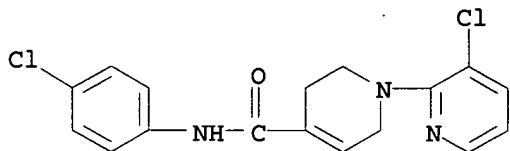
RN 801306-02-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



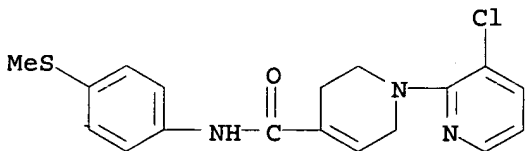
RN 801306-05-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-07-0 CAPLUS

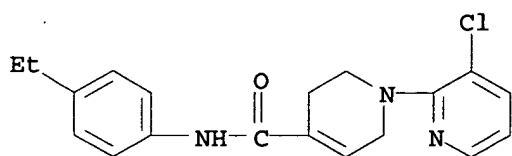
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 801306-09-2 CAPLUS

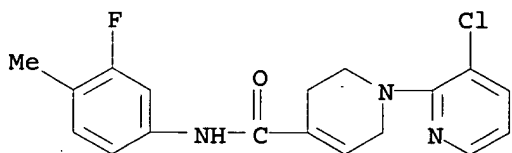
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10/618,016



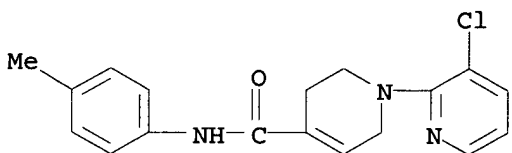
RN 801306-12-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluoro-4-methylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



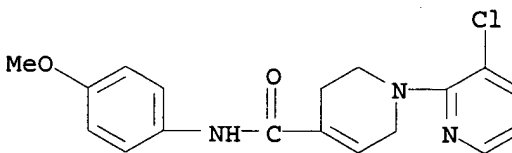
RN 824981-93-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



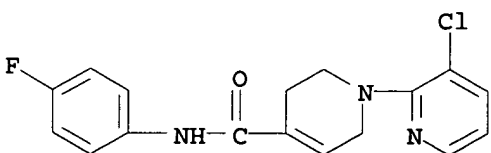
RN 824981-94-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 824981-95-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

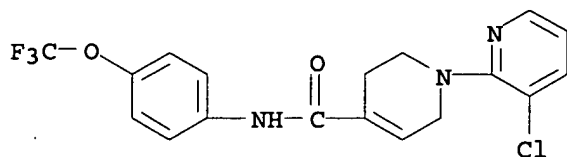


RN 824981-96-6 CAPLUS

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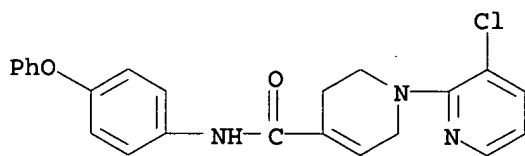
10/618,016

(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



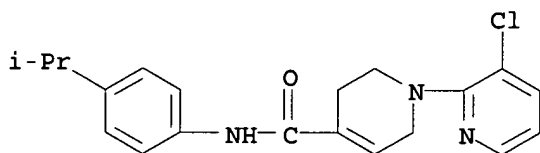
RN 824981-97-7 CAPLUS

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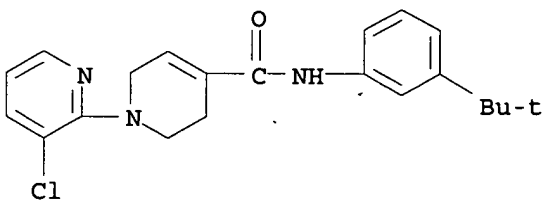
RN 824981-98-8 CAPLUS

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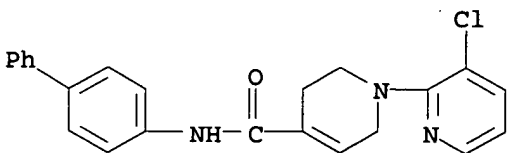
RN 824981-99-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[3-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824982-00-5 CAPLUS

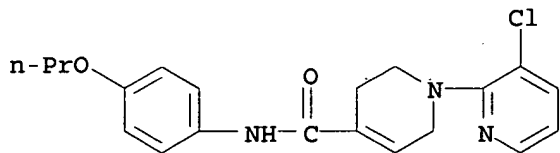
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10/618,016

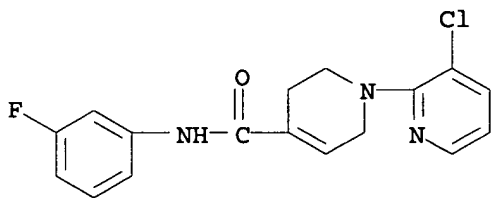
RN 824982-01-6 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-propoxyphenyl)- (9CI) (CA INDEX NAME)



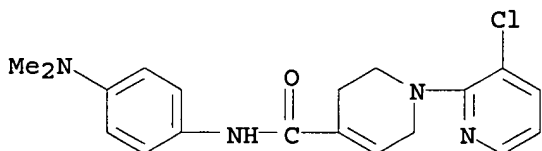
RN 824982-02-7 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



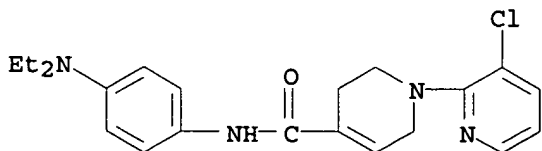
RN 824982-03-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(dimethylamino)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824982-04-9 CAPLUS

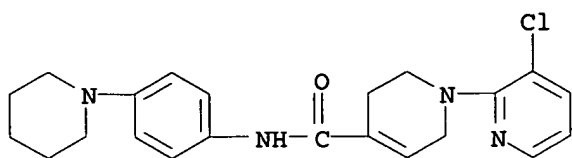
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(diethylamino)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824982-05-0 CAPLUS

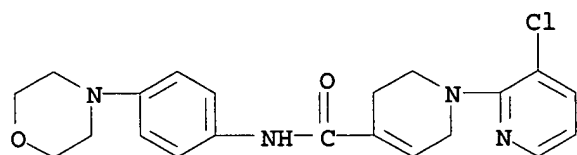
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)

10/618,016



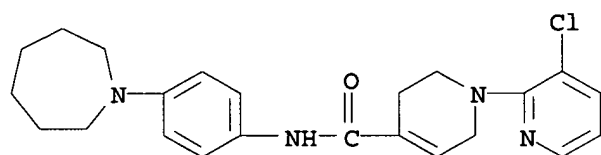
RN 824982-06-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 824982-10-7 CAPLUS

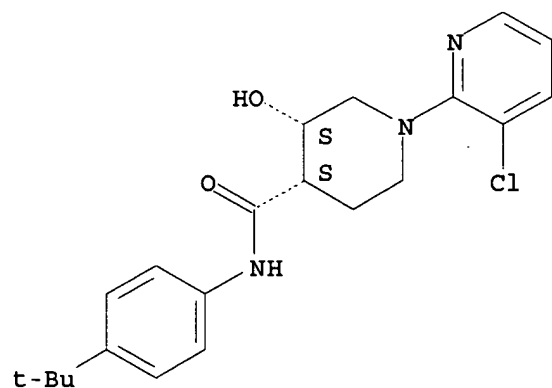
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(hexahydro-1H-azepin-1-yl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 824982-34-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-3-hydroxy-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

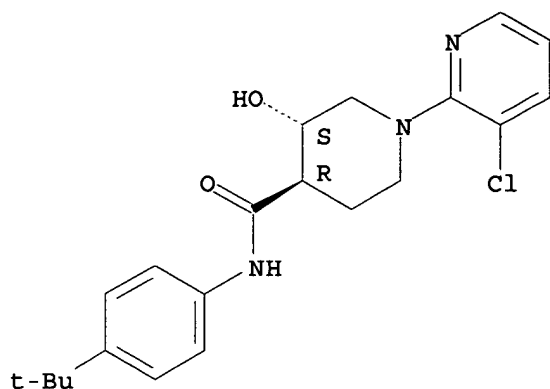


RN 824982-36-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-3-hydroxy-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

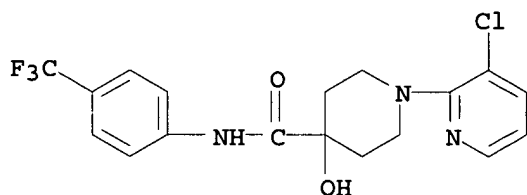
Relative stereochemistry.

10/618,016



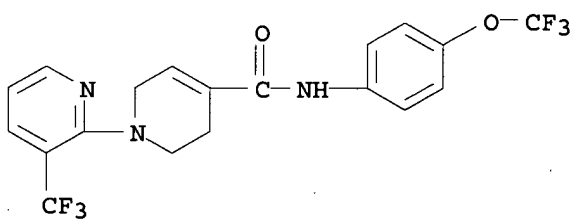
RN 824982-37-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-chloro-2-pyridinyl)-4-hydroxy-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



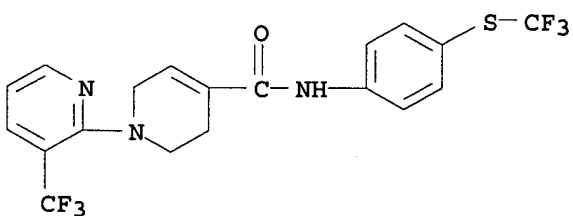
RN 824982-39-0 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-[4-(trifluoromethoxy)phenyl]-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 824982-40-3 CAPLUS

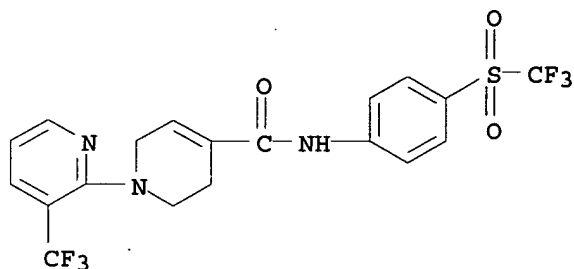
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RN 824982-41-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

10/618,016



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1037062 CAPLUS

DOCUMENT NUMBER: 142:23193

TITLE: Preparation of pyridinecarboxamide derivatives as antiinflammatory agents

INVENTOR(S): Nakagawa, Tadakiyo; Suzuki, Tamotsu; Takenaka, Kaoru; Fujita, Shinichi; Yamada, Youji; Shima, Yoichiro; Okuzumi, Tatsuya; Yoshimura, Toshihiko; Yoshida, Masanao; Murata, Masahiro

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2004103954 | A1   | 20041202 | WO 2004-JP7221  | 20040520 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPLN. INFO.:

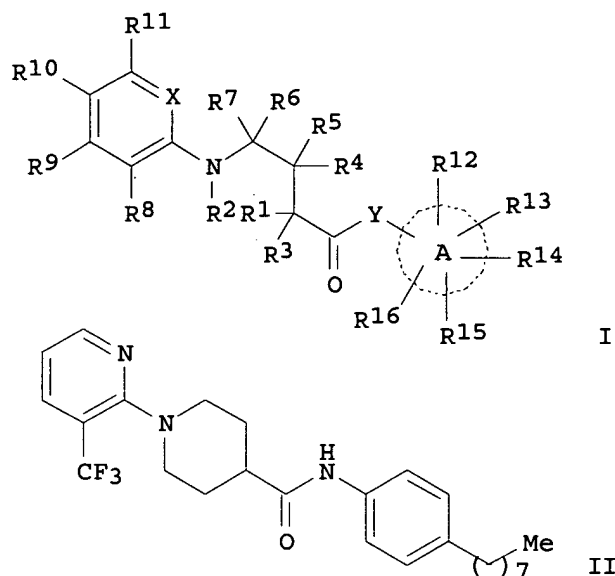
JP 2003-142681

A 20030520

OTHER SOURCE(S):

MARPAT 142:23193

GI



AB The title pyridinecarboxamide derivs. I [wherein ring A = cycloalkyl, aryl, or heteroaryl; X = N or (un)substituted CH; Y = (un)substituted NH, alkylene, etc.; R1- R16 = independently H, halo, CN, NO<sub>2</sub>, etc.], or pharmaceutically acceptable salts, hydrates, or solvates thereof are prepared as antiinflammatory agents and analgesics. For example, the compound II was prepared in a multi-step synthesis. II inhibited 73% and 77% pain in rat at the dose of 3 and 10 mg/kg, resp.

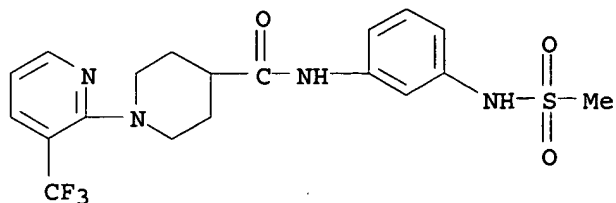
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 801306-49-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridinecarboxamide derivs. as antiinflammatory agents)

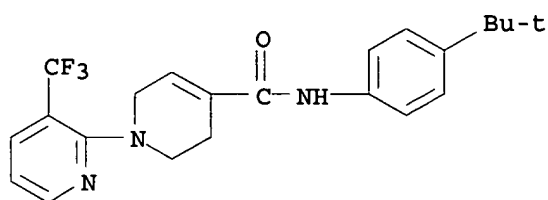


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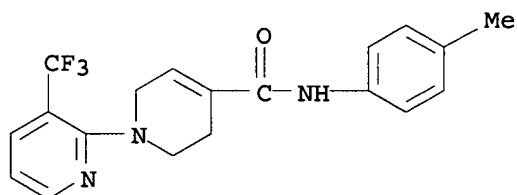
RN 801305-52-2 CAPLUS

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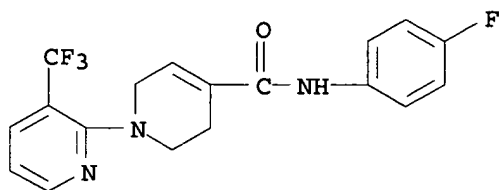
RN 801305-85-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-(4-methylphenyl)-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-86-2 CAPLUS

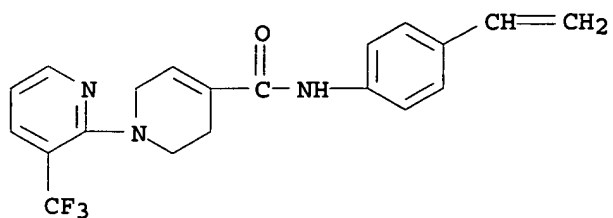
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RN 801305-87-3 CAPLUS

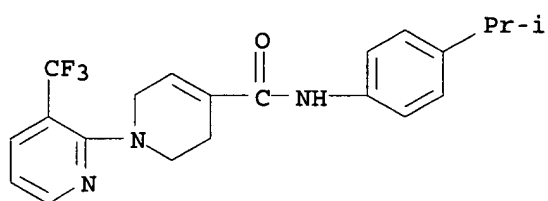
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10/618,016



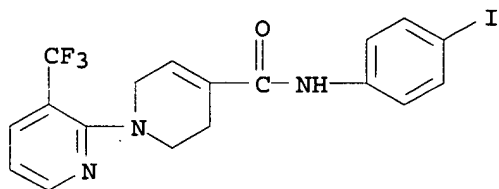
RN 801305-88-4 CAPLUS

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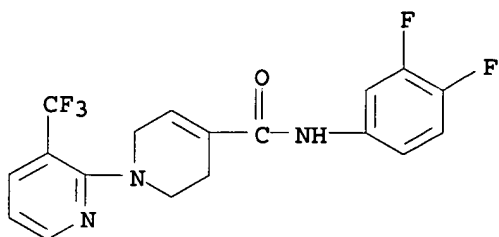
RN 801305-89-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-(4-iodophenyl)-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-90-8 CAPLUS

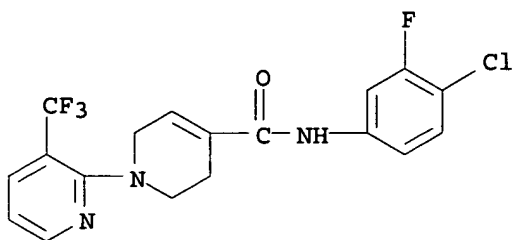
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3,4-difluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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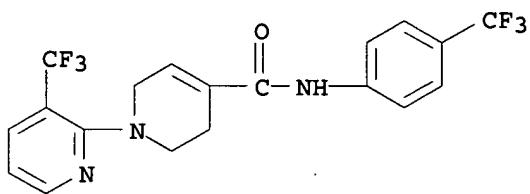
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chloro-3-fluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/618,016



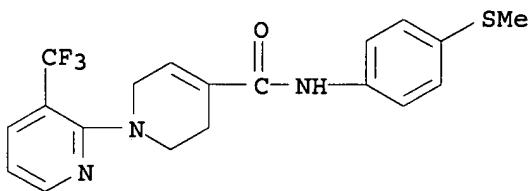
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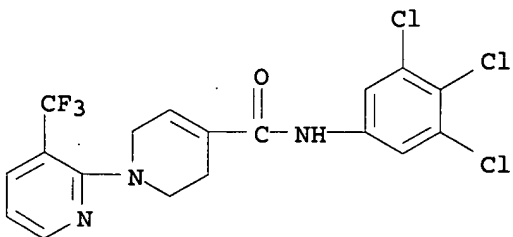
RN 801305-93-1 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-[4-(methylthio)phenyl]-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-94-2 CAPLUS

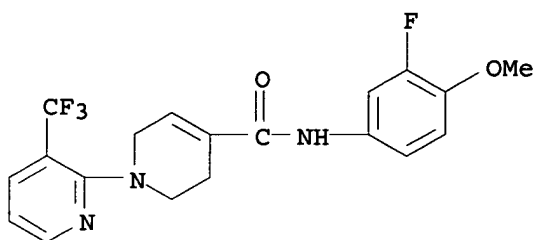
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-N-(3,4,5-trichlorophenyl)-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-95-3 CAPLUS

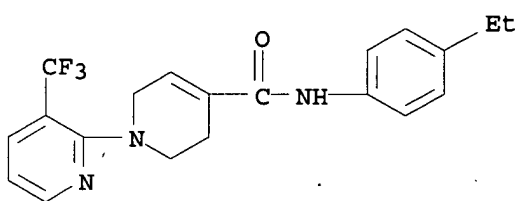
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10/618,016



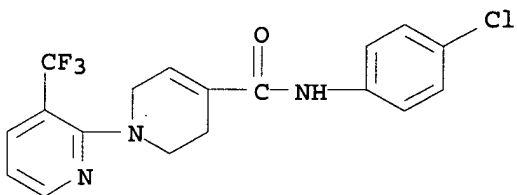
RN 801305-96-4 CAPLUS

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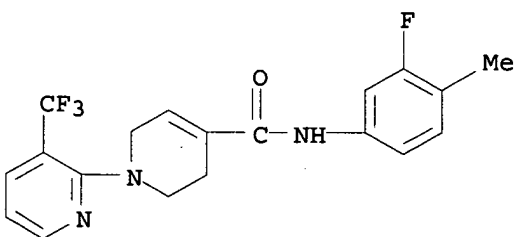
RN 801305-97-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-98-6 CAPLUS

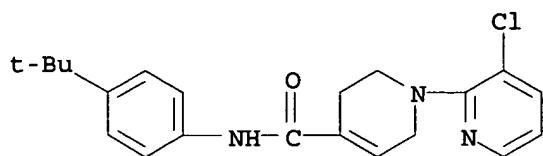
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-fluoro-4-methylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-99-7 CAPLUS

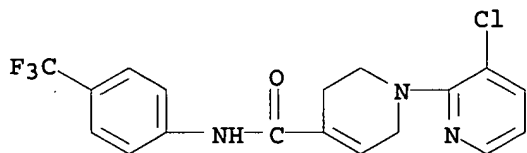
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



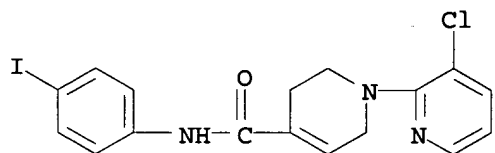
RN 801306-00-3 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



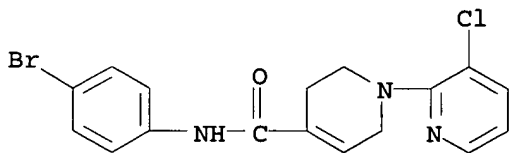
RN 801306-01-4 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-iodophenyl)- (9CI) (CA INDEX NAME)



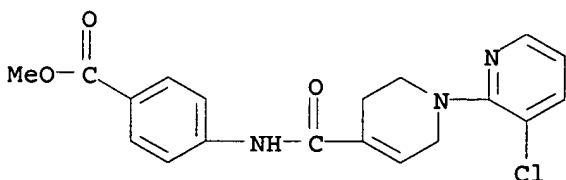
RN 801306-02-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-03-6 CAPLUS

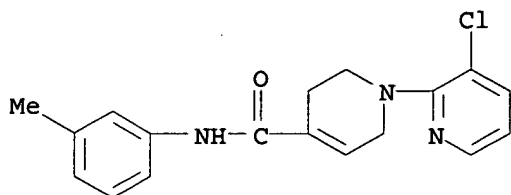
CN Benzoic acid, 4-[[[3'-chloro-3,6-dihydro[1(2H),2'-bipyridin]-4-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 801306-04-7 CAPLUS

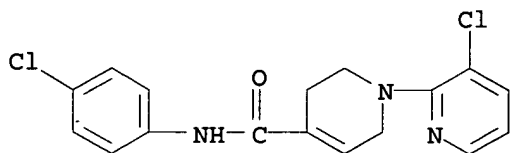
10/618,016

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



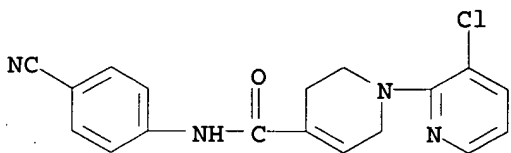
RN 801306-05-8 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



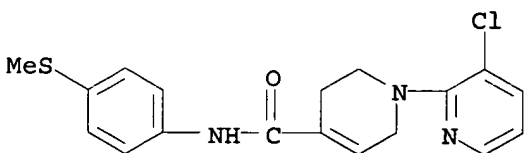
RN 801306-06-9 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-cyanophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-07-0 CAPLUS

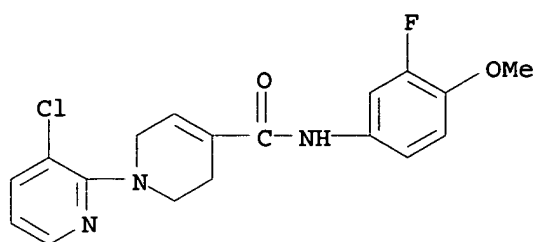
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 801306-08-1 CAPLUS

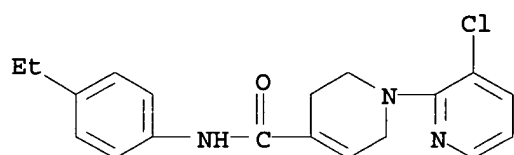
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluoro-4-methoxyphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



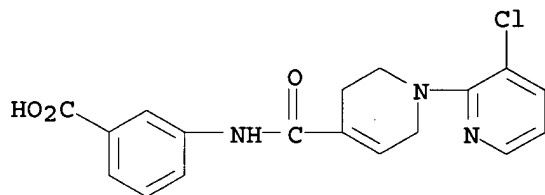
RN 801306-09-2 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-ethylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



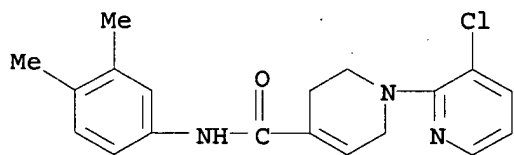
RN 801306-10-5 CAPLUS

CN Benzoic acid, 3-[[[(3'-chloro-3,6-dihydro[1(2H),2'-bipyridin]-4-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 801306-11-6 CAPLUS

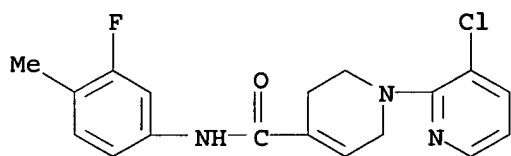
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3,4-dimethylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-12-7 CAPLUS

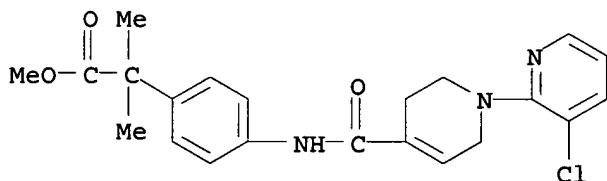
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluoro-4-methylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



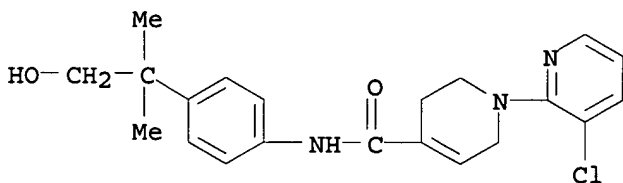
RN 801306-35-4 CAPLUS

CN Benzeneacetic acid, 4-[[[(3'-chloro-3,6-dihydro[1(2H),2'-bipyridin]-4-yl)carbonyl]amino]-α,α-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



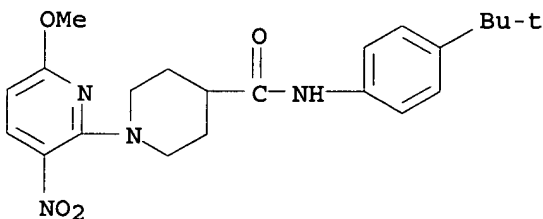
RN 801306-36-5 CAPLUS

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(2-hydroxy-1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 801306-46-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-1-(6-methoxy-3-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

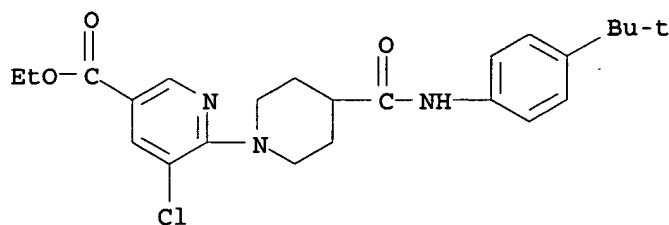


RN 801306-47-8 CAPLUS

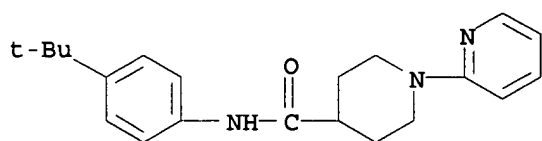
CN 3-Pyridinecarboxylic acid, 5-chloro-6-[4-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



10/618,016



RN 801306-49-0 CAPLUS  
CN 4-Piperidinécarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-1-(2-pyridinyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:967396 CAPLUS

DOCUMENT NUMBER: 142:211395

TITLE: Identification and biological evaluation of  
4-(3-trifluoromethylpyridin-2-yl)piperazine-1-  
carboxylic acid (5-trifluoromethylpyridin-2-yl)amide,  
a high affinity TRPV1 (VR1) vanilloid receptor  
antagonist

AUTHOR(S): Swanson, Devin M.; Dubin, Adrienne E.; Shah, Chandra;  
Nasser, Nadia; Chang, Leon; Dax, Scott L.; Jetter,  
Michele; Breitenbucher, J. Guy; Liu, Changlu; Mazur,  
Curt; Lord, Brian; Gonzales, Lisa; Hoey, Kenway;  
Rizzolio, Michele; Bogenstaetter, Michael; Codd, Ellen  
E.; Lee, Doo H.; Zhang, Sui-Po; Chaplan, Sandra R.;  
Carruthers, Nicholas I.

CORPORATE SOURCE: Johnson Johnson Pharmaceutical Research and  
Development L.L.C., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(6),  
1857-1872

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB High throughput screening using the recombinant human TRPV1 receptor was  
used to identify a series of pyridinylpiperazine ureas as TRPV1 vanilloid  
receptor ligands. Exploration of the structure-activity relationships by  
parallel synthesis identified the essential pharmacophoric elements for  
antagonism that permitted further optimization via targeted synthesis to  
provide a potent orally bioavailable and selective TRPV1 modulator 41  
active in several in vivo models.

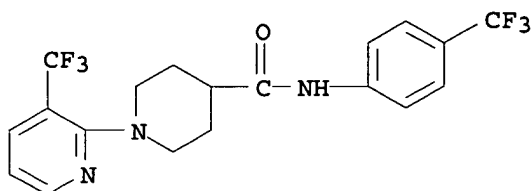
IT 801305-02-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic  
preparation); BIOL (Biological study); PREP (Preparation)  
(identification and biol. evaluation of 4-(3-trifluoromethylpyridin-2-  
yl)piperazine-1-carboxylic acid (5-trifluoromethylpyridin-2-yl)amide as  
high affinity TRPV1 (VR1) vanilloid receptor antagonist)

RN 801305-02-2 CAPLUS

10/618,016

CN 4-Piperidinecarboxamide, N-[4-(trifluoromethyl)phenyl]-1-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:696342 CAPLUS

DOCUMENT NUMBER: 141:225302

TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 390 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

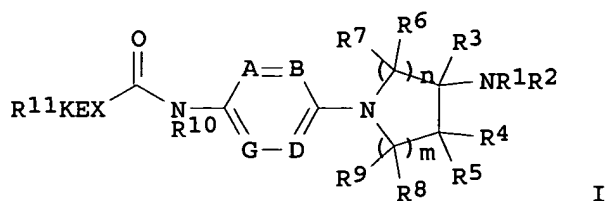
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE       |
|------------------------|--|----------|------------------|------------|
| WO 2004072025          | A2   | 20040826 | WO 2004-EP1342   | 20040213   |
| WO 2004072025          | A3   | 20041223 |                  |            |
| W:                     | AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI |          |                  |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
| DE 10306250            | A1   | 20040909 | DE 2003-10306250 | 20030214   |
| US 2004220191          | A1   | 20041104 | US 2004-779853   | 20040217   |
| PRIORITY APPLN. INFO.: |  |          | DE 2003-10306250 | A 20030214 |
|                        |  |          | US 2003-488545P  | P 20030718 |

OTHER SOURCE(S): MARPAT 141:225302

GI



AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

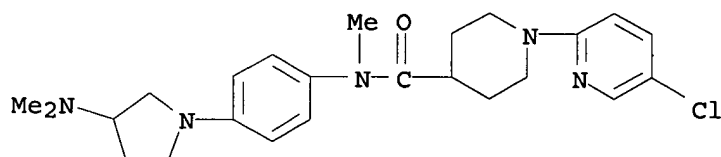
IT 748169-70-2P 748169-74-6P 748169-77-9P  
748175-39-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-arylheterocycles as MCH antagonists)

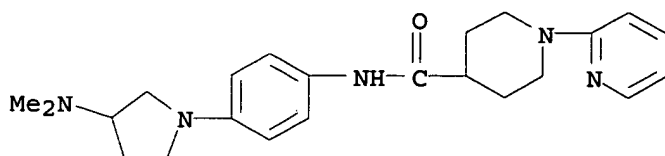
RN 748169-70-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 748169-74-6 CAPLUS

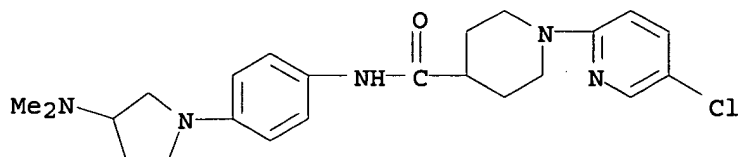
CN 4-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 748169-77-9 CAPLUS

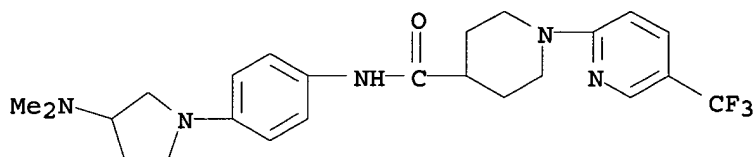
CN 4-Piperidinecarboxamide, 1-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]- (9CI) (CA INDEX NAME)

10/618,016



RN 748175-39-5 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-1-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:354920 CAPLUS

DOCUMENT NUMBER: 140:375171

TITLE: Preparation of benzimidazoles as vanilloid receptor ligands

INVENTOR(S): Balan, Chenera; Bo, Yunxin; Dominguez, Celia; Fotsch, Christopher H.; Gore, Vijay K.; Ma, Vu Van; Norman, Mark H.; Ognyanov, Vassil I.; Qian, Yi-xin; Wang, Xianghong; Xi, Ning; Xu, Shimin

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

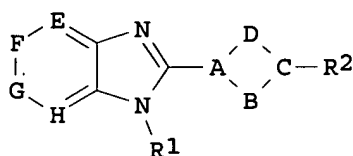
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

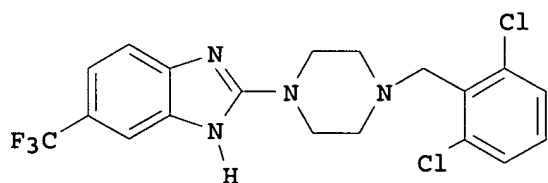
| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2004035549          | A1   | 20040429 | WO 2003-US32823 | 20031016   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2501539             | AA   | 20040429 | CA 2003-2501539 | 20031016   |
| US 2004152690          | A1   | 20040805 | US 2003-688246  | 20031016   |
| EP 1551811             | A1   | 20050713 | EP 2003-809075  | 20031016   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| PRIORITY APPLN. INFO.: |  |          | US 2002-419791P | P 20021017 |
|                        |  |          | WO 2003-US32823 | W 20031016 |

OTHER SOURCE(S): MARPAT 140:375171

GI



I



II

AB Title compds. I [wherein B, D = independently substituted un/partially/saturated C1-C3 chain, with provisos; A, C = independently N, CH and derivs. with at least one of A and C is N; E, F, G, H = independently N, CH and derivs.; R1 = H, (CH2)*m*R3 and derivs.; *m* = 0,1 or 2; R3 = independently (un)substituted un/partially/saturated 5, 6, or 7-membered monocyclic, or 6-, 7-, 8-, 9-, 10- or 11-membered bicyclic ring containing 0-4 heteroatoms selected from N, O, and S] were prepared as vanilloid receptor ligands (no data). For example, II was prepared by alkylation of piperazine with 2-chloro-6-trifluoromethyl-1H-benzimidazole (preparation given) in DMSO and reaction with 2,6-dichlorobenzyl bromide in DMF. Tests for capsaicin agonist and antagonist properties at vanilloid receptor type 1 are given (no data). I are useful in the treatment of vanilloid-receptor-mediated diseases, such as inflammatory or neuropathic pain and diseases involving sensory nerve function such as asthma, rheumatoid arthritis, osteoarthritis, inflammatory bowel disorders, urinary incontinence, migraine and psoriasis (no data).

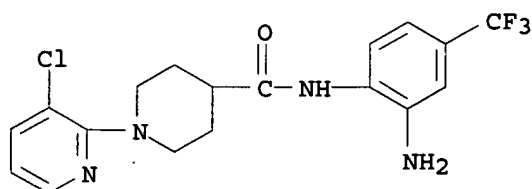
IT 683240-63-3P, N-(2-Amino-4-trifluoromethylphenyl)-1-[1-(3-chloropyridin-2-yl)piperidin-4-yl]formamide 683240-64-4P, N-(2-Amino-5-trifluoromethylphenyl)-1-[1-(3-chloropyridin-2-yl)piperidin-4-yl]formamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazoles as vanilloid receptor ligands)

RN 683240-63-3 CAPLUS

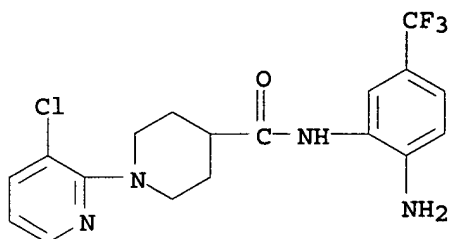
CN 4-Piperidinecarboxamide, N-[2-amino-4-(trifluoromethyl)phenyl]-1-(3-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 683240-64-4 CAPLUS

10/618,016

CN 4-Piperidinecarboxamide, N-[2-amino-5-(trifluoromethyl)phenyl]-1-(3-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:591178 CAPLUS

DOCUMENT NUMBER: 139:149653

TITLE: Preparation of quinoxaline derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors for treatment of rheumatoid arthritis

INVENTOR(S): Takayama, Kazuhisa; Masuda, Naoyuki; Hondo, Takeshi; Hirabayashi, Ryoji; Seki, Norio; Koga, Yuji; Naito, Ryo; Okamoto, Yoshinori; Kaizawa, Hiroyuki; Okuda, Takao; Okada, Youhei; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

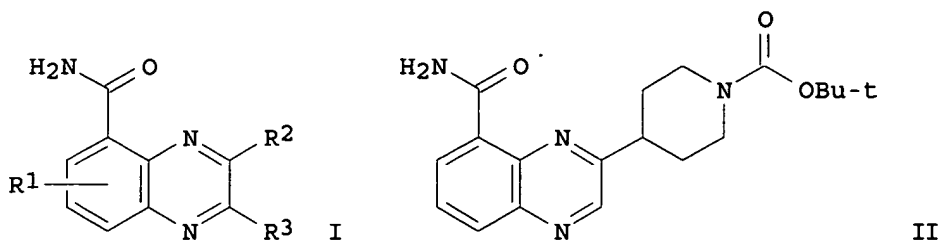
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2003062234 | A1   | 20030731 | WO 2003-JP545   | 20030122 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPLN. INFO.: JP 2002-14121 A 20020123

OTHER SOURCE(S): MARPAT 139:149653

GI



AB The title quinoxaline derivs. with general formula of I [wherein wherein R<sup>1</sup> = H, alkoxy, halo, or (un)substituted alkyl; R<sup>2</sup> = halo, (un)substituted OH, SH, or amino, etc.; R<sup>3</sup> = H, OH, halo, (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or alkyl, etc.; with exclusions] and pharmaceutically acceptable salts thereof are prepared as poly(ADP-ribose) polymerase (PARP) inhibitors for the treatment of rheumatoid arthritis. For example, the quinoxalinecarboxamide II was prepared in a four-step synthesis starting from N-(tert-butoxycarbonyl)isonipecotic acid comprising ring formation reaction. Some of compds. I showed IC<sub>50</sub> of 3.8-72 nM against human PARP.

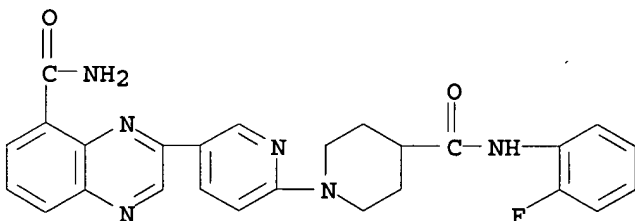
IT 569667-10-3P 569667-13-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinoxaline derivs. as PARP inhibitors for treatment of rheumatoid arthritis)

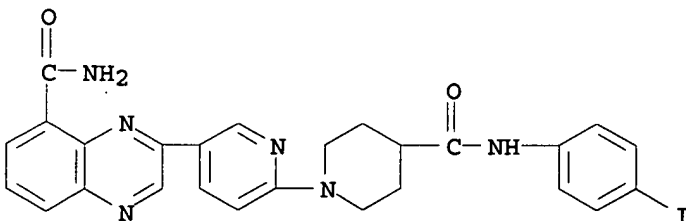
RN 569667-10-3 CAPLUS

CN 5-Quinoxalinecarboxamide, 3-[6-[4-[(2-fluorophenyl)amino]carbonyl]-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 569667-13-6 CAPLUS

CN 5-Quinoxalinecarboxamide, 3-[6-[4-[(4-iodophenyl)amino]carbonyl]-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

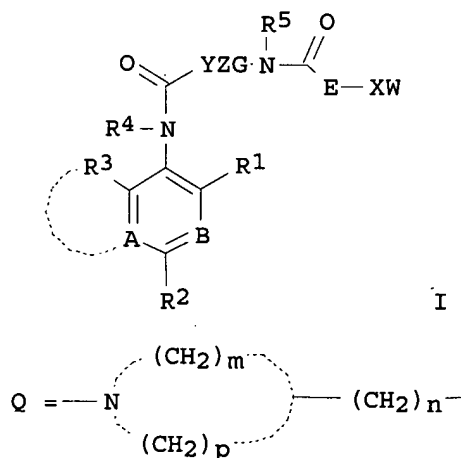
THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/618,016

ACCESSION NUMBER: 2002:568105 CAPLUS  
 DOCUMENT NUMBER: 137:125170  
 TITLE: Preparation of anilides as microsomal triglyceride-exchanging protein (MTP) inhibitors and their use for prophylactic and therapeutic treatment of hyperlipidemia and/or arteriosclerosis  
 INVENTOR(S): Yokomoto, Shoji; Hirao, Yuzo; Tamura, Koichi; Inokuma, Kenichi; Akamatsu, Hisashi  
 PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND              | DATE     | APPLICATION NO. | DATE     |
|------------------------|-------------------|----------|-----------------|----------|
| JP 2002212179          | A2                | 20020731 | JP 2001-5845    | 20010115 |
| PRIORITY APPLN. INFO.: |                   |          | JP 2001-5845    | 20010115 |
| OTHER SOURCE(S):       | MARPAT 137:125170 |          |                 |          |

GI



AB Anilides I [R<sub>1</sub>, R<sub>2</sub> = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted saturated cyclic amino, halo, etc; R<sub>3</sub> = H, alkyl, halo; R<sub>4</sub> = (un)substituted (cyclo)alkyl; A = N, CR<sub>6</sub> [R<sub>6</sub> = H; R<sub>2</sub>R<sub>6</sub> may form di- or tricyclic condensed (hetero)cyclic ring]; B = N, CH; W = H, halo, (un)substituted (hetero)aryl, D = O, S; ring E = aromatic hydrocarbyl, aromatic heterocyclyl, unsatd. cyclohydrocarbyl; G = Q; m = 1, 2; p = 2, 3; J = NH, O, S, alkylene, condensed alicyclic residue, condensed benzene residue; X = O, S, bond; Y = amino, bond, alkylene, alkenylene, (un)substituted (hetero)aryl; Z = bond, alkylene, alkenylene, (un)substituted (hetero)aryl; Y = Z ≠ bond; R<sub>5</sub> = H, alkyl, aralkyl] are prepared  
 Thus, N-butyl-N-(4,6-diethoxypyrimidin-5-yl)-5-bromopentanamide was treated with N-(piperidin-4-yl)-4'-trifluoromethylbiphenyl-2-carboxamide, K<sub>2</sub>CO<sub>3</sub>, and KI under reflux to give N-butyl-N-(4,6-diethoxypyrimidin-5-yl)-5-[4-(4'-trifluoromethylbiphenyl-2-carboxamido)piperidino]pentanamide, which inhibited secretion of apoB lipoprotein in human HepG2 cell with IC<sub>50</sub> value of 0.01 nM.

IT 444200-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

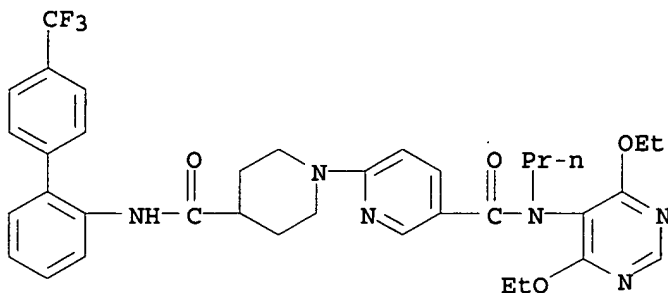


10/618,016

(preparation of anilides as microsomal triglyceride-exchanging protein inhibitors for treatment of hyperlipidemia and/or arteriosclerosis)

RN 444200-55-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(4,6-diethoxy-5-pyrimidinyl)-N-propyl-6-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]amino]carbonyl]-1-piperidinyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:811204 CAPLUS

DOCUMENT NUMBER: 132:49888

TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors

INVENTOR(S): Xue, Chu-Baio; Decicco, Carl P.; He, Xiaohua

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9965867  | A1   | 19991223 | WO 1999-US13723 | 19990617 |
| W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  |      |          |                 |          |
| CA 2333554  | AA   | 19991223 | CA 1999-2333554 | 19990617 |
| AU 9946923  | A1   | 20000105 | AU 1999-46923   | 19990617 |
| EP 1087937  | A1   | 20010404 | EP 1999-930371  | 19990617 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| JP 2002518368   | T2   | 20020625 | JP 2000-554694  | 19990617 |
| US 6429213  | B1   | 20020806 | US 1999-335086  | 19990617 |
| US 2003139597   | A1   | 20030724 | US 2002-177235  | 20020620 |
| US 6858626  | B2   | 20050222 |                 |          |

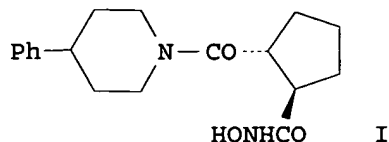
PRIORITY APPLN. INFO.:

|                 |    |          |
|-----------------|----|----------|
| US 1998-89557P  | P  | 19980617 |
| US 1999-127599P | P  | 19990402 |
| US 1999-335086  | A3 | 19990617 |
| WO 1999-US13723 | W  | 19990617 |

OTHER SOURCE(S): MARPAT 132:49888

GI

10/618,016



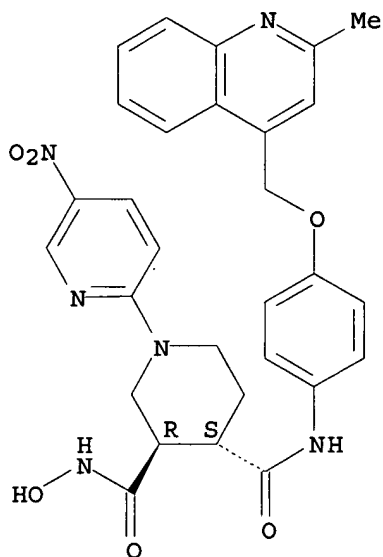
AB Title cyclic hydroxamic acids were prepared which are useful as metalloprotease inhibitors (no data). Thus, trans-1,2-cyclopentanedicarboxylic acid was amidated with 4-phenylpiperidine and treated with NH<sub>2</sub>OH to give the hydroxamide I.

IT 252918-46-0P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of cyclic hydroxamic acids as metalloproteinase inhibitors)

RN 252918-46-0 CAPLUS

CN 3,4-Piperidinedicarboxamide, N3-hydroxy-N4-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-1-(5-nitro-2-pyridinyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatfull

FILE 'USPATFULL' ENTERED AT 12:51:44 ON 26 SEP 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Sep 2005 (20050922/PD)

FILE LAST UPDATED: 22 Sep 2005 (20050922/ED)

HIGHEST GRANTED PATENT NUMBER: US6948186

HIGHEST APPLICATION PUBLICATION NUMBER: US2005210555

CA INDEXING IS CURRENT THROUGH 22 Sep 2005 (20050922/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Sep 2005 (20050922/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<

10/618,016

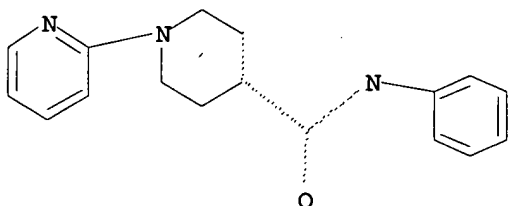
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 179 SEA FILE=REGISTRY SSS FUL L1

L5 6 SEA FILE=USPATFULL L3

=> d l5 1-6 ibib abs hitstr

L5 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2005:93416 USPATFULL

TITLE: Novel amides useful for treating pain

INVENTOR(S): Zheng, Guo Zhu, Lake Bluff, IL, UNITED STATES  
Brown, Brian S., Evanston, IL, UNITED STATES  
Turner, Sean C., Mannheim, GERMANY, FEDERAL REPUBLIC OF  
White, Tammie K., Gurnee, IL, UNITED STATES  
Schmidt, Robert G., Waukegan, IL, UNITED STATES  
Koenig, John R., Chicago, IL, UNITED STATES  
Lee, Chih-Hung, Vernon Hills, IL, UNITED STATES

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005080095  | A1   | 20050414      |
| APPLICATION INFO.:  | US 2004-887383 | A1   | 20040708 (10) |

|                       | NUMBER          | DATE          |
|-----------------------|-----------------|---------------|
| PRIORITY INFORMATION: | US 2003-486548P | 20030711 (60) |
| DOCUMENT TYPE:        | Utility         |               |
| FILE SEGMENT:         | APPLICATION     |               |

10/618,016

LEGAL REPRESENTATIVE: ROBERT DEBERARDINE, ABBOTT LABORATORIES, 100 ABBOTT  
PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008,  
US

NUMBER OF CLAIMS: 42

EXEMPLARY CLAIM: 1

LINE COUNT: 3739

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula (I-VII)  
##STR1## or a pharmaceutically acceptable salt or prodrug thereof, in  
which A, L, R.sub.6, R.sub.7 and R.sub.8 are defined herein. The present  
invention also relates to methods of treating pain using these compounds  
and pharmaceutical compositions including these compounds.

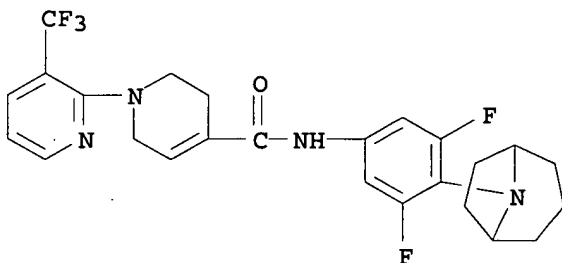
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 850039-72-4P

(claimed compound; preparation of heteroaryl carboxamides as analgesics)

RN 850039-72-4 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(8-azabicyclo[3.2.1]oct-8-yl)-  
3,5-difluorophenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



IT 801305-52-2P 801305-90-8P 801305-91-9P

801305-92-0P 801305-97-5P 801305-98-6P

801305-99-7P 801306-00-3P 801306-02-5P

801306-05-8P 801306-07-0P 801306-09-2P

801306-11-6P 801306-12-7P 824981-93-3P

824981-94-4P 824981-95-5P 824981-96-6P

824981-98-8P 824982-01-6P 824982-03-8P

824982-04-9P 824982-05-0P 824982-06-1P

824982-10-7P 824982-34-5P 824982-36-7P

824982-37-8P 824982-39-0P 824982-40-3P

824982-41-4P 850039-31-5P 850039-32-6P

850039-33-7P 850039-34-8P 850039-35-9P

850039-36-0P 850039-37-1P 850039-38-2P

850039-39-3P 850039-40-6P 850039-41-7P

850039-42-8P 850039-43-9P 850039-44-0P

850039-45-1P 850039-46-2P 850039-47-3P

850039-48-4P 850039-49-5P 850039-50-8P

850039-51-9P 850039-52-0P 850039-53-1P

850039-54-2P 850039-55-3P 850039-56-4P

850039-57-5P 850039-58-6P 850039-59-7P

850039-60-0P 850039-61-1P 850039-62-2P

850039-63-3P 850039-64-4P 850039-65-5P

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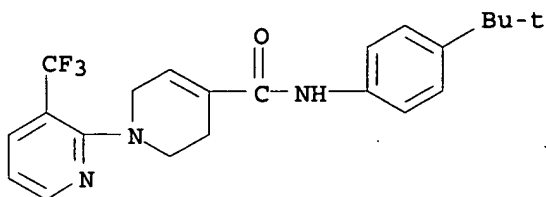
(claimed compound; preparation of heteroaryl carboxamides as analgesics)

RN 801305-52-2 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-

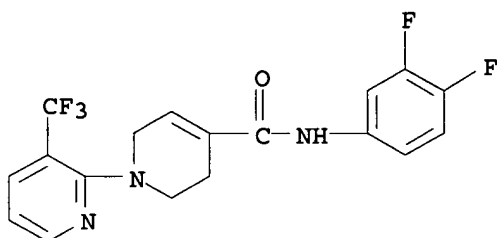
10/618,016

dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



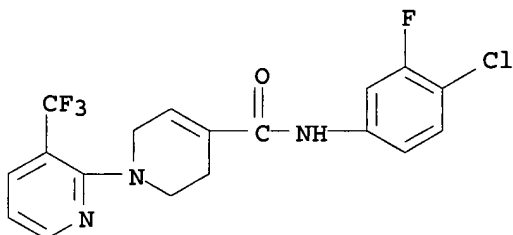
RN 801305-90-8 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3,4-difluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



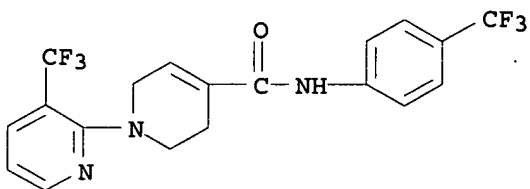
RN 801305-91-9 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chloro-3-fluorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-92-0 USPATFULL

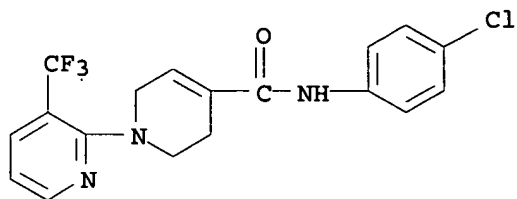
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 801305-97-5 USPATFULL

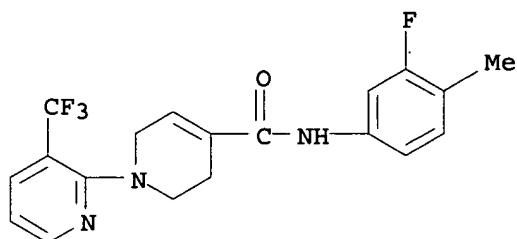
CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/618,016



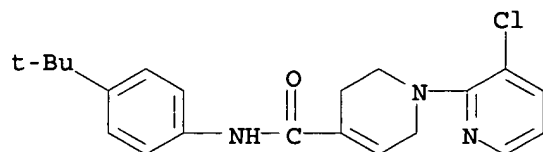
RN 801305-98-6 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-fluoro-4-methylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



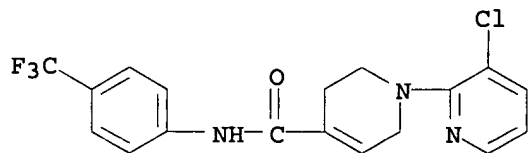
RN 801305-99-7 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



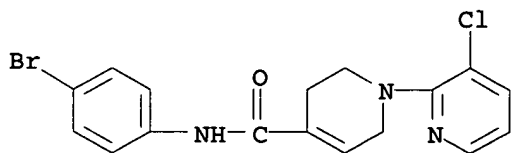
RN 801306-00-3 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

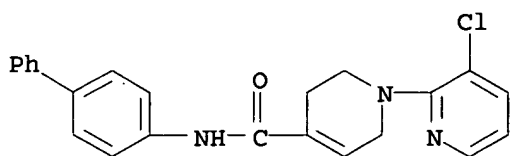


RN 801306-02-5 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)

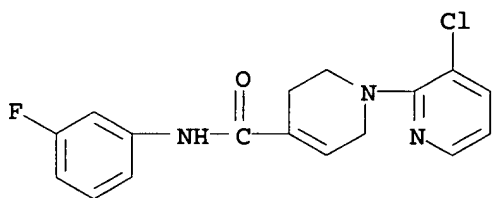


10/618,016



RN 824982-02-7 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

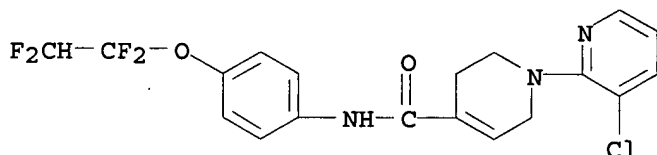


IT 850040-21-0P

(preparation of heteroaryl carboxamides as analgesics)

RN 850040-21-0 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2005:11706 USPATFULL

TITLE: Novel amides useful for treating pain

INVENTOR(S): Zheng, Guo Zhu, Lake Bluff, IL, UNITED STATES  
Brown, Brian S., Evanston, IL, UNITED STATES  
Turner, Sean C., Mannheim, GERMANY, FEDERAL REPUBLIC OF  
White, Tammie K., Gurnee, IL, UNITED STATES  
Schmidt, Robert G., Waukegan, IL, UNITED STATES  
Koenig, John R., Chicago, IL, UNITED STATES  
Lee, Chih-Hung, Vernon Hills, IL, UNITED STATES

|                       | NUMBER   | KIND | DATE          |
|-----------------------|--|------|---------------|
| PATENT INFORMATION:   | US 2005009841  | A1   | 20050113      |
| APPLICATION INFO.:    | US 2003-618016   | A1   | 20030711 (10) |
| DOCUMENT TYPE:        | Utility  |      |               |
| FILE SEGMENT:         | APPLICATION  |      |               |
| LEGAL REPRESENTATIVE: | ROBERT DEBERARDINE, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008 |      |               |
| NUMBER OF CLAIMS:     | 25   |      |               |
| EXEMPLARY CLAIM:      | 1  |      |               |
| LINE COUNT:           | 2156   |      |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula (I) ##STR1##

that useful in treating pain.

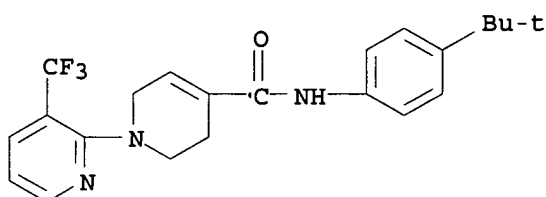
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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 801306-05-8P 801306-07-0P 801306-09-2P  
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 824981-98-8P 824981-99-9P 824982-00-5P  
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 824982-10-7P 824982-34-5P 824982-36-7P  
 824982-37-8P 824982-39-0P 824982-40-3P  
 824982-41-4P

(preparation of N-phenyl-heterocyclylcarboxylic acid amide derivs. useful for treating pain)

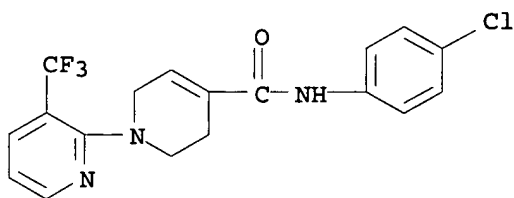
RN 801305-52-2 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-[4-(1,1-dimethylethyl)phenyl]-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



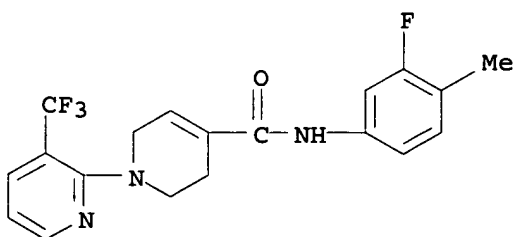
RN 801305-97-5 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-chlorophenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 801305-98-6 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(3-fluoro-4-methylphenyl)-3,6-dihydro-3'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



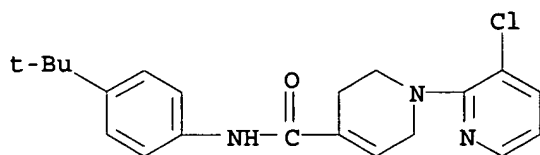
RN 801305-99-7 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-[4-(1,1-



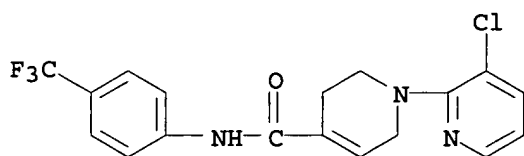
10/618,016

dimethylethyl)phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



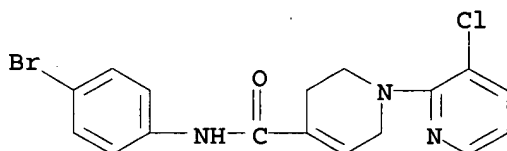
RN 801306-00-3 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



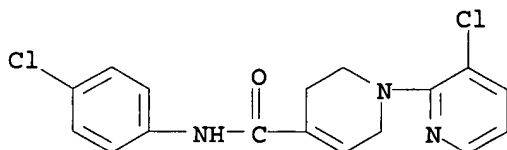
RN 801306-02-5 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, N-(4-bromophenyl)-3'-chloro-3,6-dihydro- (9CI) (CA INDEX NAME)



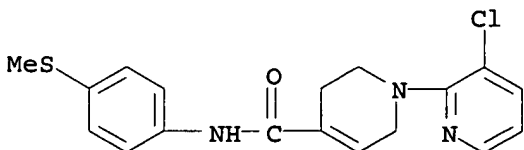
RN 801306-05-8 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 801306-07-0 USPATFULL

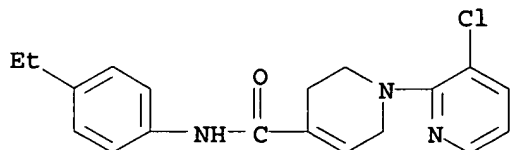
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



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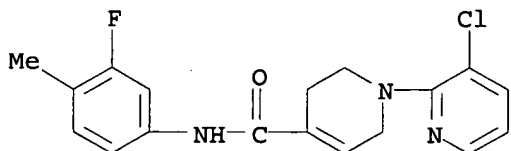
RN 801306-09-2 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-ethylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



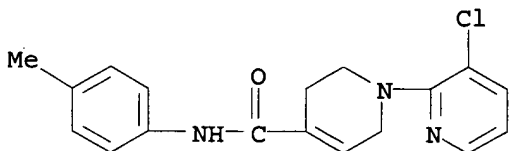
RN 801306-12-7 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(3-fluoro-4-methylphenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



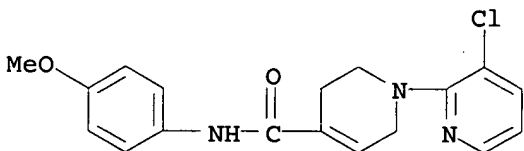
RN 824981-93-3 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 824981-94-4 USPATFULL

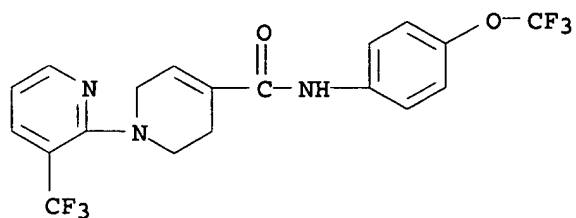
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-3,6-dihydro-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 824981-95-5 USPATFULL

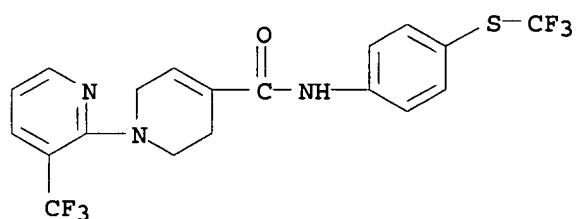
CN [1(2H),2'-Bipyridine]-4-carboxamide, 3'-chloro-N-(4-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

10/618,016



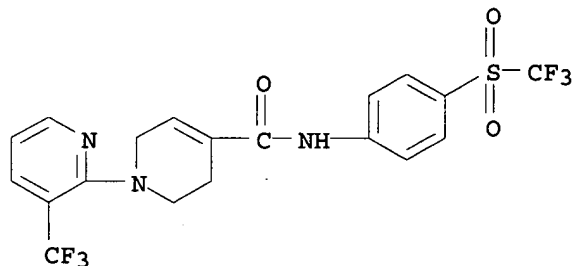
RN 824982-40-3 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-  
[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 824982-41-4 USPATFULL

CN [1(2H),2'-Bipyridine]-4-carboxamide, 3,6-dihydro-3'-(trifluoromethyl)-N-[4-  
[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:280890 USPATFULL

TITLE: Substituted N-aryl heterocycles, process for their  
preparation and their use as medicaments

INVENTOR(S): Schwink, Lothar, Stadtallendorf, GERMANY, FEDERAL  
REPUBLIC OF  
Stengelin, Siegfried, Eppstein, GERMANY, FEDERAL  
REPUBLIC OF  
Gossel, Matthias, Hofheim, GERMANY, FEDERAL REPUBLIC OF  
Boehme, Thomas, Ruesselsheim, GERMANY, FEDERAL REPUBLIC  
OF  
Hessler, Gerhard, Hofheim, GERMANY, FEDERAL REPUBLIC OF  
Stahl, Petra, Frankfurt, GERMANY, FEDERAL REPUBLIC OF  
Gretzke, Dirk, Frankfurt, GERMANY, FEDERAL REPUBLIC OF  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Frankfurt am Main,  
GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

NUMBER KIND DATE

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10/618,016

PATENT INFORMATION: US 2004220191 A1 20041104  
APPLICATION INFO.: US 2004-779853 A1 20040217 (10)

|                       | NUMBER  | DATE          |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | DE 2003-10306250  | 20030214      |
|                       | US 2003-488545P   | 20030718 (60) |
| DOCUMENT TYPE:        | Utility   |               |
| FILE SEGMENT:         | APPLICATION   |               |
| LEGAL REPRESENTATIVE: | ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807 |               |
| NUMBER OF CLAIMS:     | 20  |               |
| EXEMPLARY CLAIM:      | 1   |               |
| LINE COUNT:           | 9357  |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to substituted N-aryl heterocycles and to the physiologically tolerated salts and physiologically functional derivatives thereof.

Compounds of the formula I ##STR1##

in which the radicals have the stated meanings, the N-oxides and the physiologically tolerated salts thereof and process for the preparation thereof are described. The compounds are suitable for example as anorectic agents.

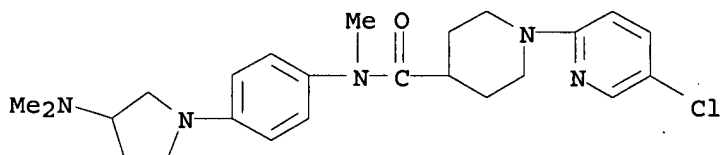
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 748169-70-2P 748169-74-6P 748169-77-9P  
748175-39-5P

(preparation of N-arylheterocycles as MCH antagonists)

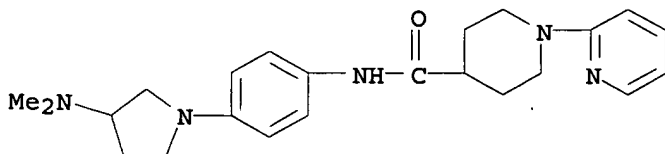
RN 748169-70-2 USPATFULL

CN 4-Piperidinecarboxamide, 1-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 748169-74-6 USPATFULL

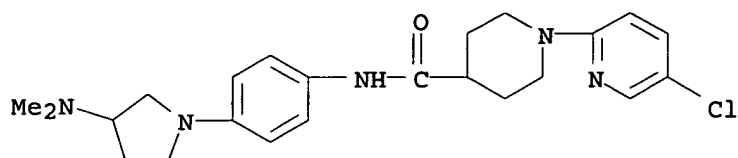
CN 4-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-1-(2-pyridinyl)- (9CI) (CA INDEX NAME).



RN 748169-77-9 USPATFULL

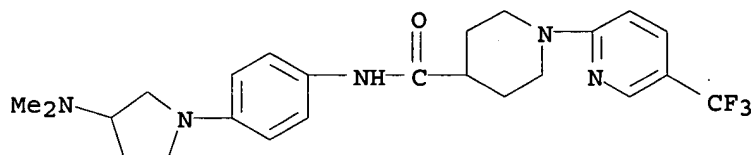
CN 4-Piperidinecarboxamide, 1-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]- (9CI) (CA INDEX NAME)

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RN 748175-39-5 USPATFULL

CN 4-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-1-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:197384 USPATFULL

TITLE: Vanilloid receptor ligands and their use in treatments

INVENTOR(S): Balan, Chenera, Thousand Oaks, CA, UNITED STATES

Bo, Yunxin, Thousand Oaks, CA, UNITED STATES

Dominguez, Celia, Thousand Oaks, CA, UNITED STATES

Fotsch, Christopher H., Thousand Oaks, CA, UNITED STATES

Gore, Vijay K., Thousand Oaks, CA, UNITED STATES

Ma, Vu Van, Simi Valley, CA, UNITED STATES

Norman, Mark H., Thousand Oaks, CA, UNITED STATES

Ognyanov, Vassil I., Thousand Oaks, CA, UNITED STATES

Qian, Yi-Xin, Thousand Oaks, CA, UNITED STATES

Wang, Xianghong, Moorpark, CA, UNITED STATES

Xi, Ning, Thousand Oaks, CA, UNITED STATES

Xu, Shimin, Thousand Oaks, CA, UNITED STATES

PATENT ASSIGNEE(S): Amgen Inc. (U.S. corporation)

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004152690  | A1   | 20040805      |
| APPLICATION INFO.:  | US 2003-688246 | A1   | 20031016 (10) |

|                       | NUMBER  | DATE          |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 2002-419791P   | 20021017 (60) |
| DOCUMENT TYPE:        | Utility   |               |
| FILE SEGMENT:         | APPLICATION   |               |
| LEGAL REPRESENTATIVE: | AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799 |               |
| NUMBER OF CLAIMS:     | 14  |               |
| EXEMPLARY CLAIM:      | 1   |               |
| LINE COUNT:           | 8263  |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Therapeutic benzimidazoles and compositions containing them, for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder

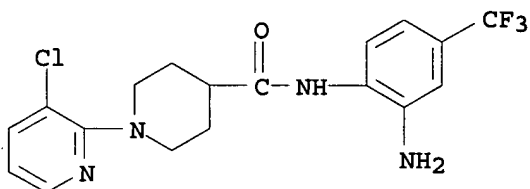
disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotising agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 683240-63-3P, N-(2-Amino-4-trifluoromethylphenyl)-1-[1-(3-chloropyridin-2-yl)piperidin-4-yl]formamide 683240-64-4P, N-(2-Amino-5-trifluoromethylphenyl)-1-[1-(3-chloropyridin-2-yl)piperidin-4-yl]formamide  
(intermediate; preparation of benzimidazoles as vanilloid receptor ligands)

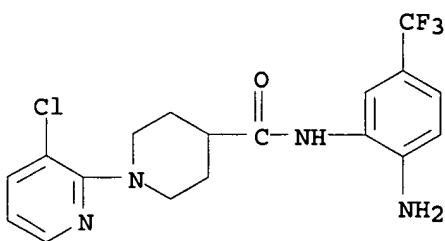
RN 683240-63-3 USPATFULL

CN 4-Piperidinecarboxamide, N-[2-amino-4-(trifluoromethyl)phenyl]-1-(3-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 683240-64-4 USPATFULL

CN 4-Piperidinecarboxamide, N-[2-amino-5-(trifluoromethyl)phenyl]-1-(3-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2003:201609 USPATFULL

TITLE: Novel Cyclic hydroxamic acid as metalloproteinase inhibitors

INVENTOR(S): Xue, Chu-Biao, Hockessin, DE, UNITED STATES  
Decicco, Carl P., Kennett Square, PA, UNITED STATES  
He, Xiaohua, Hockessin, DE, UNITED STATES

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2003139597  | A1   | 20030724      |
|                     | US 6858626     | B2   | 20050222      |
| APPLICATION INFO.:  | US 2002-177235 | A1   | 20020620 (10) |

10/618,016

RELATED APPLN. INFO.: Division of Ser. No. US 1999-335086, filed on 17 Jun 1999, GRANTED, Pat. No. US 6429213

|                       | NUMBER  | DATE          |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1998-89557P  | 19980617 (60) |
|                       | US 1999-127599P   | 19990402 (60) |
| DOCUMENT TYPE:        | Utility   |               |
| FILE SEGMENT:         | APPLICATION   |               |
| LEGAL REPRESENTATIVE: | BRISTOL-MYERS SQUIBB PHARMA COMPANY, PATENT DEPARTMENT,<br>P.O. BOX 4000, PRINCETON, NJ, 08543-4000 |               |
| NUMBER OF CLAIMS:     | 13  |               |
| EXEMPLARY CLAIM:      | 1   |               |
| LINE COUNT:           | 6318  |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application describes novel cyclic hydroxamic acids of formula I: ##STR1##

or pharmaceutically acceptable salt forms thereof, wherein ring B is a 5-7 membered cyclic system containing from 0-2 heteroatoms selected from O, N, NR.sup.a, and S(O).sub.p, and 0-1 carbonyl groups and the other variables are defined in the present specification, which are useful as metalloprotease inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

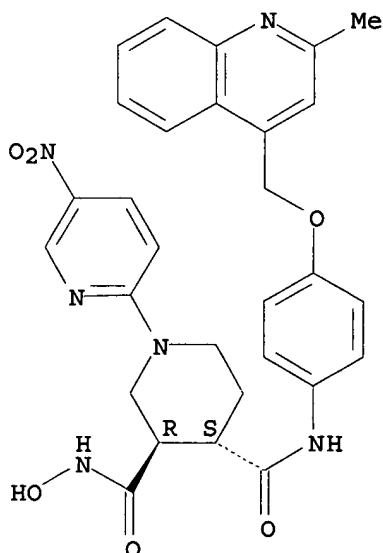
IT 252918-46-0P

(preparation of cyclic hydroxamic acids as metalloproteinase inhibitors)

RN 252918-46-0 USPATFULL

CN 3,4-Piperidinedicarboxamide, N3-hydroxy-N4-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-1-(5-nitro-2-pyridinyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:194891 USPATFULL

TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors  
Xue, Chu-Biao, 11 Rivendell Ct., Hockessin, DE, United States 19702

INVENTOR(S): Decicco, Carl P., 102 Indian Springs, Kennett Square,

10/618,016

PA, United States 19711  
He, Xiaohua, 12 Old Flint Cir., Hockessin, DE, United  
States 19707

|                     | NUMBER         | KIND | DATE         |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 6429213     | B1   | 20020806     |
| APPLICATION INFO.:  | US 1999-335086 |      | 19990617 (9) |

|                       | NUMBER                                 | DATE          |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 1998-89557P                         | 19980617 (60) |
|                       | US 1999-127599P                        | 19990402 (60) |
| DOCUMENT TYPE:        | Utility                                |               |
| FILE SEGMENT:         | GRANTED                                |               |
| PRIMARY EXAMINER:     | Seaman, D. Margaret                    |               |
| LEGAL REPRESENTATIVE: | Vance, David H., Belfield, Jing S.     |               |
| NUMBER OF CLAIMS:     | 42                                     |               |
| EXEMPLARY CLAIM:      | 1                                      |               |
| NUMBER OF DRAWINGS:   | 0 Drawing Figure(s); 0 Drawing Page(s) |               |
| LINE COUNT:           | 4673                                   |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application de gibes novel cyclic hydroxamic acids of  
formula I: ##STR1##

or pharmaceutically acceptable salt forms thereof, wherein ring B is a  
5-7 membered cyclic system containing from 0-2 heteroatoms selected from  
O, N, NR<sup>sup.a</sup>, and S(O)<sub>sub.p</sub>, and 0-1 carbonyl groups and the other  
variables are defined in the present specification, which are useful as  
metalloprotease inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 252918-46-0P

(preparation of cyclic hydroxamic acids as metalloproteinase inhibitors)

RN 252918-46-0 USPATFULL

CN 3,4-Piperidinedicarboxamide, N3-hydroxy-N4-[4-[(2-methyl-4-  
quinolinyl)methoxy]phenyl]-1-(5-nitro-2-pyridinyl)-, (3R,4S)- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

